

REM-3070

Access DB#

134739

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: K. Weddington Examiner #: 68082 Date: 10-7-04  
Art Unit: 1814 Phone Number: 272-0587 Serial Number: 101606632  
Mail Box and Bldg/Room Location: \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. *Mej*

\*\*\*\*\*  
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

A composition comprising  
1) a diuretic  
2) an insulin sensitizer

The ~~diuretic~~ diuretic is selected from acetazolamide, azosemide, amiloride, isosorbide, etacrynic acid, potassium canrenoate, chlorotalidone, cyclopentiazide, spironolactone, torasemide, triameterene, trichlormethiazide, hydrochlorothiazide, piretanide, furosemide

The insulin sensitizer selected from troglitazone, pioglitazone, rosiglitazone, JTT-501, MCC-555, G-I-262570, YH-440, KAP-297, T-174, NC-2100, BMS-29585, AZ-242, NN-622

## STAFF USE ONLY

Searcher	Type of Search	Vendors and cost where applicable
Searcher: <u>Beverly E 2528</u>	NA Sequence (#) _____	STN <u>✓</u>
Searcher Phone # _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Quest:Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr. Link _____
Date Completed: _____	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clencal Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify) _____

Weddington  
10/606632

10/606632

FILE 'REGISTRY' ENTERED AT 10:44:53 ON 08 OCT 2004

L1 11 S (ACETAZOLAMIDE OR AZOSEMIDE OR AMILORIDE OR ISOSORBIDE OR POT  
E ETACRYNIC ACID/CN 5  
L2 1 S E3  
E CYCLOPENTIAZIDE/CN 5  
L3 1 S E2  
E TRIAMTERENE/CN 5  
L4 1 S E3  
L5 14 S L1 OR L2 OR L3 OR L4  
L6 3 S (TROGLITAZONE OR PIOGLITAZONE OR ROSIGLITAZONE OR "JTT-501" O  
L7 11 S ("JTT 501" OR "MCC 555" OR "GI 262570" OR "YM 440" OR "KRP 29  
L8 14 S L6 OR L7

FILE 'HCAPLUS' ENTERED AT 10:51:01 ON 08 OCT 2004

L1 11 SEA FILE=REGISTRY ABB=ON PLU=ON (ACETAZOLAMIDE OR AZOSEMIDE  
OR AMILORIDE OR ISOSORBIDE OR POTASSIUM CANRENOATE OR CHLORTALI  
DONE OR CYCLOPENTIAZIDE OR SPIRONOLACTONE OR TORASEMIDE OR  
TRIAMETERENE OR TRICHLORMETHIAZIDE OR HYDROCHLOROTHIAZIDE OR  
PIRETAMIDE OR FUROSEMIDE)/CN  
L2 1 SEA FILE=REGISTRY ABB=ON PLU=ON "ETACRYNIC ACID"/CN  
L3 1 SEA FILE=REGISTRY ABB=ON PLU=ON CYCLOPENTIAZID/CN  
L4 1 SEA FILE=REGISTRY ABB=ON PLU=ON TRIAMTERENE/CN  
L5 14 SEA FILE=REGISTRY ABB=ON PLU=ON L1 OR L2 OR L3 OR L4  
L6 3 SEA FILE=REGISTRY ABB=ON PLU=ON (TROGLITAZONE OR PIOGLITAZONE  
OR ROSIGLITAZONE OR "JTT-501" OR "MCC-555" OR "GI-262570" OR  
"YM-440" OR "KRP-297" OR "T-174" OR "NC-2100" OR "BMS-298585"  
OR "AZ-242" OR "NN-622")/CN  
L7 11 SEA FILE=REGISTRY ABB=ON PLU=ON ("JTT 501" OR "MCC 555" OR  
"GI 262570" OR "YM 440" OR "KRP 297" OR "T 174" OR "NC 2100"  
OR "BMS 298585" OR "AZ 242" OR "NN 622")/CN  
L8 14 SEA FILE=REGISTRY ABB=ON PLU=ON L6 OR L7  
L9 2929 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR TROGLITAZONE OR  
PIOGLITAZONE OR ROSIGLITAZONE OR "JTT 501" OR "MCC 555" OR "GI  
262570" OR "YM 440" OR "KRP 297" OR "T 174" OR "NC 2100" OR  
"BMS 298585" OR "AZ 242" OR "NN 622"  
L10 75 SEA FILE=HCAPLUS ABB=ON PLU=ON "JTT501" OR "MCC555" OR  
"GI262570" OR "YM440" OR "KRP297" OR "T174" OR "NC2100" OR  
"BMS298585" OR "AZ242" OR "NN622"  
L11 2942 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 OR L10  
L12 24590 SEA FILE=HCAPLUS ABB=ON PLU=ON ACETAZOLAMIDE OR AZOSEMIDE OR  
AMILORIDE OR ISOSORBIDE OR POTASSIUM CANRENOATE OR CHLORTALIDON  
E OR CYCLOPENTIAZIDE OR SPIRONOLACTONE OR TORASEMIDE OR  
TRIAMETERENE OR TRICHLORMETHIAZIDE OR HYDROCHLOROTHIAZIDE OR  
PIRETAMIDE OR FUROSEMIDE  
L13 3358 SEA FILE=HCAPLUS ABB=ON PLU=ON ETHACRYNIC OR ETACRYNIC OR  
CYCLOPENTHIAZIDE OR TRIAMTERENE OR (K OR POTASSIUM) (W)CANRENOAT  
E OR CYCLO(W) (PENTIAZID# OR PENTHIAZIDE) OR CYCLOPENTIAZID OR  
SPIRONO LACTONE OR (TRICHLOR OR TRI CHLOR) (W)METHIAZIDE OR TRI  
CHLORMETHIAZIDE  
L14 3334 SEA FILE=HCAPLUS ABB=ON PLU=ON HYDROCHLOROTHIAZIDE OR  
HYDRO(W) (CHLOROTHIAZIDE OR CHLORO THIAZIDE) OR HYDROCHLORO  
THIAZIDE  
L15 57 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND (L5 OR L12 OR L13 OR  
L14)  
L16 54779 SEA FILE=HCAPLUS ABB=ON PLU=ON (MEDIC? OR PHARMACEUT? OR  
DRUG) (5A) (COMPOSITION OR COMP##)

Searcher : Shears 571-272-2528

L17 23 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND L16

L17 ANSWER 1 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 30 Jul 2004

ACCESSION NUMBER: 2004:610104 HCAPLUS

DOCUMENT NUMBER: 141:134092

TITLE: Telmisartan-simvastatin combination for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary, or renal diseases

INVENTOR(S): Riedel, Axel; Sendra, Josep-Maria; Leiter, Josef M. E.; Kauschke, Stefan; Mark, Michael

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;  
Boehringer Ingelheim Pharma GmbH & Co. Kg

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062729	A1	20040729	WO 2004-EP175	20040114
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA				
DE 10301372	A1	20040729	DE 2003-10301372	20030116
PRIORITY APPLN. INFO.:			DE 2003-10301372	A 20030116
			DE 2003-10335027	A 20030731

AB The invention discloses a method for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary or renal diseases, achieved by the improvement of endothelial function and the protection of organs, tissues and vessels when indications require a blood pressure check and a lipid level check, especially in patients that have been diagnosed with type 2 diabetes mellitus or if prediabetes is suspected. The method is also used for preventing diabetes and prediabetes and for the treatment of metabolic syndrome and insulin resistance in patients with normal blood pressure. The method involves the combined administration of effective quantities of telmisartan, or a polymorph or salt thereof, and simvastatin. The invention also discloses suitable **pharmaceutical compns** containing telmisartan, or a polymorph or salt thereof, and simvastatin, as a combined preparation for simultaneous, sep., or sequential use in the prophylaxis or treatment of the above diseases. Preparation of the sodium salt of telmisartan is described.

IT 122320-73-4, Rosiglitazone

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(telmisartan-simvastatin combination for prophylaxis and treatment of cardiovascular, cardiopulmonary, pulmonary, and renal diseases)

IT 58-93-5, Hydrochlorothiazide 77-36-1,

10/606632

Chlorthalidone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(telmisartan-simvastatin combination for prophylaxis and treatment of  
cardiovascular, cardiopulmonary, pulmonary, and renal diseases, and use  
with other agents)

L17 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 29 Jul 2004

ACCESSION NUMBER: 2004:606351 HCAPLUS

DOCUMENT NUMBER: 141:134089

TITLE: Telmisartan-atorvastatin combination for the  
prophylaxis or treatment of cardiovascular,  
cardiopulmonary, pulmonary, or renal diseases

INVENTOR(S): Riedel, Axel; Sendra, Josep-Maria; Leiter, Josef M.  
E.; Kauschke, Stefan; Mark, Michael

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;  
Boehringer Ingelheim Pharma GmbH & Co. Kg

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062557	A2	20040729	WO 2004-EP174	20040114
WO 2004062557	A3	20040916		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB,  
BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR,  
CR, CU, CU, CZ, CZ, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES,  
FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL,  
IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ,  
LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX,  
MX, MZ, MZ, NA

DE 10301371 A1 20040805 DE 2003-10301371 20030116

PRIORITY APPLN. INFO.: DE 2003-10301371 A 20030116

DE 2003-10335027 A 20030731

AB The invention discloses a method for the prophylaxis or treatment of  
cardiovascular, cardiopulmonary, pulmonary, or renal diseases, achieved by  
the improvement of endothelial function and the protection of organs,  
tissues and vessels when indications require a blood pressure check and a  
lipid level check, especially in patients that have been diagnosed with

type 2

diabetes mellitus or if prediabetes is suspected. The method is also used  
for preventing diabetes and prediabetes and for the treatment of metabolic  
syndrome and insulin resistance in patients with normal blood pressure.  
The method involves the combined administration of effective amts. of  
telmisartan, or a polymorph or salt thereof, and atorvastatin. The  
invention also discloses suitable **pharmaceutical compns**

. containing telmisartan, or a polymorph or salt thereof, and atorvastatin,

as

a combined preparation for simultaneous, sep. or sequential use in the  
prophylaxis or treatment of the above diseases. Preparation of the sodium

salt

Searcher : Shears 571-272-2528

of telmisartan is described.

IT 122320-73-4, **Rosiglitazone**

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(telmisartan-atorvastatin combination for prophylaxis and treatment of cardiovascular, cardiopulmonary, pulmonary, and renal diseases)

IT 58-93-5, **Hydrochlorothiazide 77-36-1,**  
Chlorthalidone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(telmisartan-atorvastatin combination for prophylaxis and treatment of cardiovascular, cardiopulmonary, pulmonary, and renal diseases, and use with other agents)

L17 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 22 Feb 2004

ACCESSION NUMBER: 2004:142902 HCAPLUS

DOCUMENT NUMBER: 140:187404

TITLE: Electrospun amorphous **pharmaceutical compositions**

INVENTOR(S): Ignatious, Francis; Sun, Linghong

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014304	A2	20040219	WO 2003-US24641	20030807
WO 2004014304	A3	20040624		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-401726P P 20020807

AB The present invention is directed to use of electrospinning, i.e. the process of making polymer nanofibers from either a solution or melt under elec. forces, to prepare stable, solid dispersions of amorphous drugs in polymer nanofibers. Thus, carvedilol-HBr monohydrate was dissolved in THF and water. The solution was added to Polyox WSR1105 in MeCN solution. This solution was spun to give nanofibers, and the morphol. of the drug was shown to be amorphous.

IT 58-93-5, **Hydrochlorothiazide 122320-73-4,**  
**Rosiglitazone**

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(electrospun amorphous **pharmaceutical compns.**)

10/606632

L17 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 26 Jan 2004

ACCESSION NUMBER: 2004:60341 HCAPLUS

DOCUMENT NUMBER: 140:117406

TITLE: Liquid dosage **compositions** of stable  
nanoparticulate **drugs**

INVENTOR(S): Bosch, William H.; Hilborn, Matthew R.; Hovey, Douglas  
C.; Kline, Laura J.; Lee, Robert W.; Pruitt, John D.;  
Ryde, Niels P.; Ryde, Tuula A.; Xu, Shuqian

PATENT ASSIGNEE(S): Elan Pharma International, Ltd, Ire.

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 15

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006959	A1	20040122	WO 2003-US22187	20030716
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-396530P P 20020716

AB The present invention relates to liquid dosage **compsns.** of stable nanoparticulate **drugs**. The liquid dosage compsns. of the invention include osmotically active crystal growth inhibitors that stabilize the nanoparticulate active agents against crystal and particle size growth of the drug. Thus, an aqueous nanoparticulate colloidal dispersion (NCD) comprising drug 32.5 Copovidone 6.5, and dioctyl sodium sulfosuccinate 0.464% by weight was prepared by milling for 3.8 h under high energy milling conditions. The final mean particle size (by weight) of the drug particles was 161 nm. The concentrated NCD was then diluted with preserved water and glycerol (the osmotically active crystal growth inhibitor) to 0.5-3.0% drug.

IT 396-01-0, Triamterene 2609-46-3,

Amiloride 97322-87-7, Troglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(liquid dosage **compsns.** of stable nanoparticulate **drugs**)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 23 Jan 2004

ACCESSION NUMBER: 2004:56700 HCAPLUS

DOCUMENT NUMBER: 141:150902

Searcher : Shears 571-272-2528

TITLE: Human liver aldehyde oxidase: inhibition by 239 drugs  
 AUTHOR(S): Obach, R. Scott; Huynh, Phuong; Allen, Mary C.;  
 Beedham, Christine  
 CORPORATE SOURCE: Groton Laboratories, Pfizer Global Research and  
 Development, Groton, CT, USA  
 SOURCE: Journal of Clinical Pharmacology (2004), 44(1), 7-19  
 CODEN: JCPCBR; ISSN: 0091-2700  
 PUBLISHER: Sage Publications  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The authors tested 239 frequently used **drugs** and other **compds.** for their potential to inhibit the drug-metabolizing enzyme, aldehyde oxidase, in human liver cytosol. A sensitive, moderate throughput HPLC-MS assay was developed for 1-phthalazinone, the aldehyde oxidase-catalyzed product of phthalazine oxidation. Inhibition of this activity was examined for the 239 **drugs** and other **compds** of interest at a test concentration of 50  $\mu$ M. Thirty-six compds. exhibited greater than 80% inhibition and were further examined for measurement of IC50. The most potent inhibitor observed was the selective estrogen receptor modulator, raloxifene (IC50 = 2.9 nM), and tamoxifen, estradiol, and ethinyl estradiol were also potent inhibitors. Other classes of drugs that demonstrated inhibition of aldehyde oxidase included phenothiazines, tricyclic antidepressants, tricyclic atypical antipsychotic agents, and dihydropyridine calcium channel blockers, along with some other drugs, including loratadine, cyclobenzaprine, amodiaquine, maprotiline, ondansetron, propafenone, domperidone, quinacrine, ketoconazole, verapamil, tacrine, and salmeterol. These findings are discussed in context to potential drug interactions that could be observed between these agents and drugs for which aldehyde oxidase is involved in metabolism and warrant investigation of the possibility of clin. drug interactions mediated by inhibition of this enzyme.

- IT **111025-46-8, Pioglitazone**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antidiabetic agent **pioglitazone** ineffective in inhibition of human liver aldehyde oxidase)
- IT **122320-73-4, Rosiglitazone**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antidiabetic agent **rosiglitazone** ineffective in inhibition of human liver aldehyde oxidase)
- IT **54-31-9, Furosemide**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (diuretic agent **furosemide** ineffective in inhibition of human liver aldehyde oxidase)
- IT **58-93-5, Hydrochlorothiazide**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (diuretic **hydrochlorothiazide** ineffective in inhibition of human liver aldehyde oxidase)
- IT **52-01-7, Spironolactone**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(diuretic **spironolactone** ineffective in inhibition of human liver aldehyde oxidase)

IT **56211-40-6, Torasemide**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(diuretic **torasemide** ineffective in inhibition of human liver aldehyde oxidase)

IT **396-01-0, Triamterene**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(diuretic **triamterene** ineffective in inhibition of human liver aldehyde oxidase)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 14 Sep 2003

ACCESSION NUMBER: 2003:719286 HCAPLUS

DOCUMENT NUMBER: 139:235443

TITLE: Immediate-release **pharmaceutical granule compositions** containing cellulose and polymer

INVENTOR(S): Remon, Jean-paul; Vervaet, Kris

PATENT ASSIGNEE(S): Universiteit Gent, Belg.

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074031	A1	20030912	WO 2003-BE40	20030305
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: GB 2002-5253 A 20020306

AB An immediate-release **pharmaceutical granule composition** comprises at least one **drug** classifiable as Class II or Class IV of the Biopharmaceutical Classification System, wherein the the drug constitutes 0.5% and up to about 20% by weight of the composition, the composition further comprising a first excipient selected from the group consisting of blends of a microcryst. cellulose and a swellable polymer in amts. such that the weight ratio of the the polymer to the microcryst. cellulose in the blend is above about 2:100 and up to about 30:100. The composition contains 1 or more dextrin-containing compds. selected from the group consisting of



maltodextrins, cyclodextrins and derivs. thereof, and mixts. of the dextrin-containing compds. and the blends, and a wetting amount of a second excipient being a nonaq. wetting compound or meltable compound and comprising

a solid fraction and optionally a liquid fraction. Thus, a formulation contained **hydrochlorothiazide** (low water-soluble) 100, PEG-400 52.5, PEG-4000 187.5, maltodextrin 622.5, and xanthan gum 37.5 g.

IT 52-01-7, **Spironolactone 54-31-9**,

**Furosemide 58-54-8, Ethacrynic acid**

**58-93-5, HydroChlorothiazide 77-36-1**,

**Chlorthalidone 97322-87-7, Troglitazone**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(immediate-release **pharmaceutical granule compns.**

containing cellulose and polymer)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 14 Mar 2003

ACCESSION NUMBER: 2003:202410 HCAPLUS

DOCUMENT NUMBER: 138:226705

TITLE: Novel pharmaceuticals comprising drug conjugates with polypeptide carriers

INVENTOR(S): Picariello, Thomas

PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 2059 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 11

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020200	A2	20030313	WO 2001-US43117	20011116
WO 2003020200	A3	20030912		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1357928	A2	20031105	EP 2001-273387	20011116
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			US 2000-248600P	P 20001116
			US 2000-248601P	P 20001116
			US 2000-248603P	P 20001116
			US 2000-248604P	P 20001116
			US 2000-248606P	P 20001116
			US 2000-248607P	P 20001116
			US 2000-248608P	P 20001116
			US 2000-248609P	P 20001116

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US 2000-248611P	P	20001116
US 2000-248689P	P	20001116
US 2000-248691P	P	20001116
US 2000-248692P	P	20001116
US 2000-248693P	P	20001116
US 2000-248694P	P	20001116
US 2000-248695P	P	20001116
US 2000-248696P	P	20001116
US 2000-248697P	P	20001116
US 2000-248698P	P	20001116
US 2000-248701P	P	20001116
US 2000-248702P	P	20001116
US 2000-248703P	P	20001116
US 2000-248704P	P	20001116
US 2000-248705P	P	20001116
US 2000-248706P	P	20001116
US 2000-248707P	P	20001116
US 2000-248708P	P	20001116
US 2000-248709P	P	20001116
US 2000-248710P	P	20001116
US 2000-248711P	P	20001116
US 2000-248712P	P	20001116
US 2001-248664P	P	20011116
US 2001-248665P	P	20011116
US 2001-248666P	P	20011116
US 2001-248667P	P	20011116
US 2001-248668P	P	20011116
US 2001-248669P	P	20011116
US 2001-248671P	P	20011116
US 2001-248672P	P	20011116
US 2001-248673P	P	20011116
US 2001-248674P	P	20011116
US 2001-248675P	P	20011116
US 2001-248676P	P	20011116
US 2001-248677P	P	20011116
US 2001-248678P	P	20011116
US 2001-248679P	P	20011116
US 2001-248680P	P	20011116
US 2001-248681P	P	20011116
US 2001-248682P	P	20011116
US 2001-248683P	P	20011116
US 2001-248684P	P	20011116
US 2001-248765P	P	20011116
US 2001-248766P	P	20011116
US 2001-248767P	P	20011116
US 2001-248773P	P	20011116
US 2001-248774P	P	20011116
US 2001-248775P	P	20011116
US 2001-248778P	P	20011116
US 2001-248780P	P	20011116
US 2001-248781P	P	20011116
US 2001-248783P	P	20011116
US 2001-248784P	P	20011116
US 2001-248785P	P	20011116
US 2001-248786P	P	20011116
US 2001-248787P	P	20011116

US 2001-248790P P 20011116  
 US 2001-248791P P 20011116  
 US 2001-248792P P 20011116  
 US 2001-248793P P 20011116  
 US 2001-248833P P 20011116  
 US 2001-248848P P 20011116  
 US 2001-248849P P 20011116  
 WO 2001-US43117 W 20011116

AB A pharmaceutical composition comprising a polypeptide and an active agent attached to said polypeptide is disclosed.

IT 52-01-7D, Spironolactone, polypeptide conjugates  
 58-93-5D, Hydrochlorothiazide, polypeptide conjugates  
 396-01-0D, Triamterene, polypeptide conjugates  
 56211-40-6D, Torsemide, polypeptide conjugates 97322-87-7D  
 , Troglitazone, polypeptide conjugates 111025-46-8D,  
 Pioglitazone, polypeptide conjugates

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (novel pharmaceuticals comprising drug conjugates with polypeptide carriers)

L17 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 28 Feb 2003

ACCESSION NUMBER: 2003:154224 HCAPLUS

DOCUMENT NUMBER: 138:193294

TITLE: Expandable gastric retention device containing  
 pharmaceutical compositions

INVENTOR(S): Ayres, James W.

PATENT ASSIGNEE(S): The State of Oregon Acting by and Through the State  
 Board of Higher Education On Behalf of Oregon State  
 University, USA

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015745	A1	20030227	WO 2001-US46146	20011022
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1416914	A1	20040512	EP 2001-995328	20011022
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRIORITY APPLN. INFO.: US 2001-313078P P 20010816  
 WO 2001-US46146 W 20011022

AB The present application concerns gastric retention devices formed from compns. comprising polymeric materials, such as polysaccharides, and

optional addnl. materials including excipients, therapeutics, and diagnostics, that reside in the stomach for a controlled and prolonged period of time. Dry powders of xanthan gum and locust bean gum were mixed intimately were converted to dried films. The dried films were compressed with the help of specially made punches and dies. A series of dies with decreasingly narrow internal diams. were used. A punch pushes the film from one die into the next die, followed by pushing of the film by another punch into the next die. This process takes place in succession until a point is reached where the film is small enough to put into a desired capsule size.

IT 58-93-5, Hydrochlorothiazide

RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(expandable gastric retention device containing **pharmaceutical compns.**)

IT 52-01-7, Spironolactone 54-31-9,  
Furosemide 59-66-5, Acetazolamide  
396-01-0, Triamterene

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(expandable gastric retention device containing **pharmaceutical compns.**)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 02 Jan 2003

ACCESSION NUMBER: 2003:1215 HCAPLUS

DOCUMENT NUMBER: 138:61315

TITLE: Controlled and sustained release dosage forms containing hydrophilic carriers and diffusion enhancers

INVENTOR(S): Chhabra, Harinderpal; Sarkar, Shyamal K.

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 23 pp.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6500459	B1	20021231	US 1999-358732	19990721
CA 2314298	AA	20010121	CA 2000-2314298	20000721
PRIORITY APPLN. INFO.:			US 1999-358732	A 19990721

AB A **pharmaceutical composition** for controlled onset and sustained release of an active ingredient, comprises: (i) a core comprising: (a) an active ingredient; (b) a hydrophilic carrier; (c) a hydrodynamic diffusion enhancer; and optionally (d) conventional excipients selected from the group consisting of binders, fillers and lubricants and combinations thereof; and (ii) a functional coating membrane surrounding the core. Thus, 240 g verapamil-HCl was sieved through a mesh sieve and blended with 150 g E50 premium HPMC. To this blend was added 270.0 g croscarmellose sodium and mixed for 15 min. This blend was granulated with PVP K-29/32 solution in iso-PROH (30% weight/weight).

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The wet mass obtained in the above step was dried at 60° for 3 h. After drying, the granules were passed a mesh sieve. The granules were then mixed with 2.5 g of Magnesium Stearate and 15 g of Stearic acid in a V blender. This granule blend was compressed in a tablet press by using appropriate size tooling. The granules were then mixed with 2.5 g of Mg stearate and 15 g of stearic acid in a V blender. This granule blend was compressed in a tablet press by using appropriate size tooling. These tablets were then coated by using a perforated coating pan. A seal coating membrane was applied on the surface of tablets to achieve a weight gain of 1.66% of the weight of the core. The seal coating dispersion of Opadry Clear in water at 10% was sprayed on to the surface of the tablets by using a perforated coating pan.

IT 52-01-7, Spironolactone 54-31-9,  
Furosemide 58-93-5, Hydrochlorthiazide 77-36-1  
, Chlorthalidone 396-01-0, Triamterene  
97322-87-7, Troglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(controlled and sustained release dosage forms containing hydrophilic  
carriers and diffusion enhancers)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 04 Oct 2002

ACCESSION NUMBER: 2002:754995 HCAPLUS

DOCUMENT NUMBER: 137:268473

TITLE: Porous drug matrices and methods of manufacture  
thereof

INVENTOR(S): Straub, Julie; Altreuter, David; Bernstein, Howard;  
Chickering, Donald E.; Khattak, Sarwat; Randall, Greg

PATENT ASSIGNEE(S): Acusphere Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U. S.  
6,395,300.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142050	A1	20021003	US 2002-53929	20020122
US 6395300	B1	20020528	US 1999-433486	19991104
US 6645528	B1	20031111	US 2000-694407	20001023
ZA 2001010347	A	20030730	ZA 2001-10347	20011218
PRIORITY APPLN. INFO.:			US 1999-136323P	P 19990527
			US 1999-158659P	P 19991008
			US 1999-433486	A2 19991104

AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or

Searcher : Shears 571-272-2528

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second solution and hydrophilic or hydrophobic excipients that stabilize the drug and inhibit crystallization, and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. Hydrophobic or hydrophilic excipients may be selected to stabilize the drug in crystalline form by inhibiting crystal growth or to stabilize the drug in amorphous form by preventing crystallization

The pore forming agent can be either a volatile liquid that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard

techniques into tablets or capsules for oral administration. Thus, 5.46 g of PEG 8000, 0.545 g of prednisone, and 0.055 g of Span 40 were dissolved in 182 mL of methylene chloride. A solution of 3.27 g of ammonium bicarbonate in 18.2 mL of water was added to the organic solution (phase ratio

1:10) and homogenized for 5 min at 16,000 RPM. The resulting emulsion was spray dried on a benchtop spray dryer using an air-atomizing nozzle and nitrogen as the drying gas.

IT 77-36-1, Chlorthalidone 97322-87-7, Troglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(porous drug matrixes and methods of manufacture thereof)

L17 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:556104 HCAPLUS

DOCUMENT NUMBER: 137:109489

TITLE: Compositions comprising a polypeptide and an active agent

INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 34 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 11

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099013	A1	20020725	US 2001-933708	20010822
US 2004087483	A1	20040506	US 2002-136433	20020502
PRIORITY APPLN. INFO.:			US 2000-247556P	P 20001114
			US 2000-247558P	P 20001114
			US 2000-247559P	P 20001114
			US 2000-247560P	P 20001114
			US 2000-247561P	P 20001114
			US 2000-247594P	P 20001114

Searcher : Shears 571-272-2528

US 2000-247595P	P	20001114
US 2000-247606P	P	20001114
US 2000-247607P	P	20001114
US 2000-247608P	P	20001114
US 2000-247609P	P	20001114
US 2000-247610P	P	20001114
US 2000-247611P	P	20001114
US 2000-247612P	P	20001114
US 2000-247620P	P	20001114
US 2000-247621P	P	20001114
US 2000-247634P	P	20001114
US 2000-247635P	P	20001114
US 2000-247698P	P	20001114
US 2000-247699P	P	20001114
US 2000-247700P	P	20001114
US 2000-247701P	P	20001114
US 2000-247702P	P	20001114
US 2000-247797P	P	20001114
US 2000-247798P	P	20001114
US 2000-247799P	P	20001114
US 2000-247800P	P	20001114
US 2000-247801P	P	20001114
US 2000-247802P	P	20001114
US 2000-247803P	P	20001114
US 2000-247804P	P	20001114
US 2000-247805P	P	20001114
US 2000-247807P	P	20001114
US 2000-247832P	P	20001114
US 2000-247833P	P	20001114
US 2000-247926P	P	20001114
US 2000-247927P	P	20001114
US 2000-247928P	P	20001114
US 2000-247929P	P	20001114
US 2000-247930P	P	20001114
US 2000-642820	A2	20000822
US 2000-248607P	P	20001116
US 2001-933708	A2	20010822

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient.

The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalixin hydrochloride.

IT 52-01-7, Spironolactone 54-31-9,  
Furosemide 58-93-5, Hydrochlorothiazide  
97322-87-7, Troglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(compns. comprising a polypeptide and an active agent)

L17 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:555334 HCAPLUS

DOCUMENT NUMBER: 137:114525

TITLE: Syntactic deformable pharmaceutical foam

INVENTOR(S): **compositions**  
Odidi, Isa; Odidi, Amina  
PATENT ASSIGNEE(S): Can.  
SOURCE: PCT Int. Appl., 47 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056861	A2	20020725	WO 2002-CA54	20020117
WO 2002056861	A3	20021017		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6800668	B1	20041005	US 2001-765783	20010119

PRIORITY APPLN. INFO.: US 2001-765783 A 20010119

AB The invention relates to methods for preparing a syntactic foam composition suitable for use as a carrier for chems. or other **compsds.**, including **pharmaceuticals**. Carbopol 971P, hydroxyethyl cellulose, cellulose microspheres and silica, was mixed in a high-shear mixer. The resulting admixt. was treated with 2-propanol, while simultaneously subjecting the admixt. to high-shear forces in the high-shear mixer. This mixing created a uniform stable syntactic deformable and compressible dendritic solid foam which could be shaped before drying. Metoprolol succinate was added to the above admixt. and subjected to high-shear agitation for 2 min before treatment with 2-propanol. A stable syntactic deformable and compressible dendritic solid foam which could be shaped before drying was obtained. This was dried at 40°. The dried foam was the disentangled by size reduction to obtain discrete particles. The free flowing particles were reassembled and shaped by compression in a mold. The shaped units, when subjected to an aqueous medium, released metoprolol over a period of ≤3 h.

IT 54-31-9, Furosemide 58-93-5,  
Hydrochlorothiazide 396-01-0, Triamterene  
97322-87-7, Troglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(syntactic deformable **pharmaceutical** foam **compsns.**)

L17 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN  
ED Entered STN: 05 Jul 2002

ACCESSION NUMBER: 2002:504648 HCAPLUS

DOCUMENT NUMBER: 137:83637

TITLE: **Medicinal compositions** containing  
diuretic and insulin resistance-improving agent

INVENTOR(S): Takaoka, Masaya; Araki, Kazushi; Kanda, Shoichi  
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan



10/606632

SOURCE: PCT Int. Appl., 183 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051441	A1	20020704	WO 2001-JP11296	20011221
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
JP 2002255854	A2	20020911	JP 2001-386861	20011220
EP 1354602	A1	20031022	EP 2001-271867	20011221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
US 2004053974	A1	20040318	US 2003-606632	20030626
PRIORITY APPLN. INFO.:			JP 2000-394424	A 20001226
			WO 2001-JP11296	W 20011221

OTHER SOURCE(S): MARPAT 137:83637

AB Disclosed are **medicinal compns.** containing a diuretic and an insulin resistance-improving agent whereby side effects associating the administration of an insulin resistance-improving agent (for example, megalocardia, edema, body fluid retention, pleural effusion) can be prevented or treated. Oral administration of **furosemide** prevented increases of heart weight and blood plasma, and edema due to administration of 5-[4-(6-methoxy-1-methyl-1H-benzimidazol-2-ylmethoxy)benzyl]thiazolidine-2,4-dione hydrochloride.

IT 179068-64-5, NC 2100

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(NC 2100; **medicinal compns.**  
containing diuretics and insulin resistance-improving agents)

IT 54-31-9, Furosemide 2609-46-3,  
**Amiloride**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(**medicinal compns.** containing diuretics and insulin  
resistance-improving agents)

IT 52-01-7, Spironolactone 58-54-8,  
**Ethacrynic acid 58-93-5, Hydrochlorothiazide**  
**59-66-5, Acetazolamide 77-36-1,**  
**Chlortalidone 133-67-5, Trichlormethiazide**  
**396-01-0, Triamterene 652-67-5,**  
**Isosorbide 742-20-1, Cyclopenthiazide**  
**2181-04-6, Potassium canrenoate**  
**27589-33-9, Azosemide 56211-40-6,**  
**Toraseamide 97322-87-7, Troglitazone**  
**111025-46-8, Pioglitazone 118384-10-4,**  
**T-174 122320-73-4, Rosiglitazone**  
**161600-01-7, MCC-555 170861-63-9,**  
**JTT-501 196808-45-4, GI**  
**262570 199914-96-0, YM-440**  
**213252-19-8, KRP-297 222834-21-1,**  
**NN 622 251565-85-2, AZ-242**

Searcher : Shears 571-272-2528

10/606632

331741-94-7, BMS 298585

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(**medicinal compns.** containing diuretics and insulin  
resistance-improving agents)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 24 May 2002

ACCESSION NUMBER: 2002:392237 HCAPLUS

DOCUMENT NUMBER: 136:401651

TITLE: Preparation of fused pyridine derivatives as HMG-CoA  
reductase inhibitors

INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-Chi; Sun, Chong-Qing

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.  
Ser. No. 875,218.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

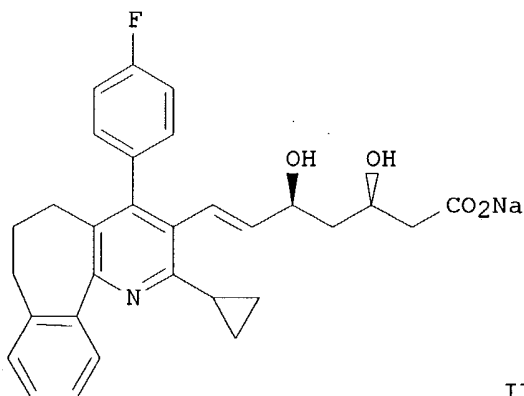
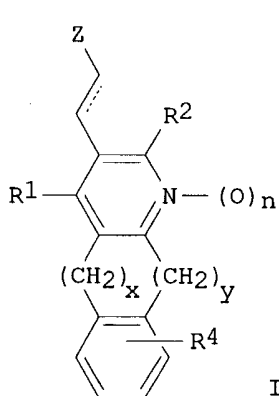
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002061901	A1	20020523	US 2001-8154	20011204
US 6620821	B2	20030916		
US 2002028826	A1	20020307	US 2001-875218	20010606
US 2004024216	A1	20040205	US 2003-602753	20030624
PRIORITY APPLN. INFO.:			US 2000-211594P	P 20000615
			US 2001-875218	A2 20010606
			US 2001-8154	A3 20011204

OTHER SOURCE(S): MARPAT 136:401651

GI



AB The title **compds.** I and their **pharmaceutically**  
acceptable salts, esters, prodrug esters, and stereoisomers are claimed  
[wherein: Z = CH(OH)CH2CR7(OH)CH2CO2R3 or corresponding pyranone lactone

Searcher : Shears 571-272-2528

derivs.; n = 0, 1; x = 0, 1, 2, 3, or 4; y = 0, 1, 2, 3 or 4, provided that at least one of x and y is other than 0; and optionally one or more carbons of (CH<sub>2</sub>)<sub>x</sub> and/or (CH<sub>2</sub>)<sub>y</sub> together with addnl. carbons form a 3 to 7 membered spirocyclic ring; R<sub>1</sub>, R<sub>2</sub> = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R<sub>3</sub> = H or lower alkyl; R<sub>4</sub> = H, halo, CF<sub>3</sub>, OH, alkyl, alkoxy, CO<sub>2</sub>H, (un)substituted NH<sub>2</sub>, cyano, (un)substituted CONH<sub>2</sub>, etc.; R<sub>7</sub> = H, alkyl]. The compds. are HMG-CoA reductase inhibitors, and are active in inhibiting cholesterol biosynthesis and modulating blood serum lipids, for example, lowering LDL cholesterol and/or increasing HDL cholesterol (no data). I are thus useful in treating hyperlipidemia and dyslipidemia, in hormone replacement therapy, and in treating hypercholesterolemia, hypertriglyceridemia and atherosclerosis, as well as Alzheimer's disease and osteoporosis. Preps. of several compds. are described. For instance, a multistep synthesis of fused pyridine derivative II is reported. Compds. I may be used in a manner similar to atorvastatin, pravastatin, simvastatin, etc. Combinations of compds. I with various other drugs are claimed, the latter being specified as certain pharmacol. classes, as inhibitors of specific enzymes, as (ant)agonists of specific receptors, and as numerous named drugs.

IT 52-01-7, Spironolactone 54-31-9,  
Furosemide 58-93-5, Hydrochlorothiazide  
56211-40-6, Torasemide 97322-87-7,  
Troglitazone 111025-46-8, Pioglitazone  
122320-73-4, Rosiglitazone 161600-01-7  
170861-63-9, JTT-501 196808-45-4  
199914-96-0, YM-440 213252-19-8,  
KRP297

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(therapeutic compns. also containing; preparation of fused pyridine  
derivs. as  
HMG-CoA reductase inhibitors)

L17 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 03 May 2002

ACCESSION NUMBER: 2002:332011 HCAPLUS

DOCUMENT NUMBER: 136:355482

TITLE: Compositions comprising a polypeptide and an active agent

INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.

PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 11

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,			

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SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,  
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
US 6716452 B1 20040406 US 2000-642820 20000822  
AU 2001086599 A5 20020506 AU 2001-86599 20010822  
EP 1311242 A1 20030521 EP 2001-966056 20010822  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2004523480 T2 20040805 JP 2002-537291 20010822  
US 2004127397 A1 20040701 US 2003-727565 20031205  
PRIORITY APPLN. INFO.:  
US 2000-642820 A 20000822  
US 2000-247613P P 20001114  
US 2000-247614P P 20001114  
US 2000-247615P P 20001114  
US 2000-247616P P 20001114  
US 2000-247617P P 20001114  
US 2000-247622P P 20001114  
US 2000-247630P P 20001114  
US 2000-247631P P 20001114  
US 2000-247632P P 20001114  
US 2000-247633P P 20001114  
US 2000-247556P P 20001114  
US 2000-247558P P 20001114  
US 2000-247559P P 20001114  
US 2000-247560P P 20001114  
US 2000-247561P P 20001114  
US 2000-247594P P 20001114  
US 2000-247595P P 20001114  
US 2000-247606P P 20001114  
US 2000-247607P P 20001114  
US 2000-247608P P 20001114  
US 2000-247609P P 20001114  
US 2000-247610P P 20001114  
US 2000-247611P P 20001114  
US 2000-247612P P 20001114  
US 2000-247620P P 20001114  
US 2000-247621P P 20001114  
US 2000-247634P P 20001114  
US 2000-247635P P 20001114  
US 2000-247698P P 20001114  
US 2000-247699P P 20001114  
US 2000-247701P P 20001114  
US 2000-247702P P 20001114  
US 2000-247797P P 20001114  
US 2000-247798P P 20001114  
US 2000-247799P P 20001114  
US 2000-247800P P 20001114  
US 2000-247801P P 20001114  
US 2000-247802P P 20001114  
US 2000-247803P P 20001114  
US 2000-247804P P 20001114  
WO 2001-US26142 W 20010822

AB Claimed are compns. comprising a polypeptide and an active agent  
covalently attached to the polypeptide and a method for delivery of an

Searcher : Shears 571-272-2528

active agent to a patient by administering the composition to the patient.

The

peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)<sub>n</sub>-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT 52-01-7, Spironolactone 54-31-9,  
Furosemide 58-93-5, Hydrochlorothiazide  
97322-87-7, Troglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(comps. comprising a polypeptide and an active agent)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 25 Jan 2002

ACCESSION NUMBER: 2002:71854 HCAPLUS

DOCUMENT NUMBER: 136:123667

TITLE: Antidiabetic combinations containing enzymic nitric  
oxide donor

INVENTOR(S): Szilvassy, Zoltan; Tosaki, Arpad; Nemeth, Jozsef;  
Kovacs, Peter; Pankucsi, Csaba; Hernadi, Ferenc;  
Ferninandy, Peter

PATENT ASSIGNEE(S): Keri Pharma Kft., Hung.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005795	A2	20020124	WO 2001-HU79	20010713
WO 2002005795	A3	20021219		
WO 2002005795	B1	20030130		
W:	AL, AU, BA, BB, BG, BR, CA, CN, CO, CR, CU, CZ, DM, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1303304	A2	20030423	EP 2001-954229	20010713
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004503585	T2	20040205	JP 2002-511728	20010713
US 2004068005	A1	20040408	US 2003-332946	20031017
PRIORITY APPLN. INFO.:			HU 2000-2628	A 20000714
			WO 2001-HU79	W 20010713

AB Pharmaceutical combinations for treatment and/or prevention of all sorts, periods and complications of diabetes mellitus in mammals, thus including the pre-diabetic diseases and their complications, optionally including furthermore ischemic heart disease comprising an ED of at least one enzymic nitric oxide (NO) donor active ingredient and optionally comprising an ED of at least one antidiabetic active ingredient, and

further optionally comprising usual pharmaceutically acceptable carriers and/or other auxiliaries. The combination may consist of more than one **pharmaceutical compns.** The EDs related to the new insulin-sensitizing effect are considerably lower than the usual doses related to the known effect of most active substances due to metabolic effects that influence insulin sensitivity in healthy and insulin resistant mammals. The usual dose of NO-donors is necessary when the patient has also ischemic heart disease. Preferred antidiabetics include insulin, a thiazolidinedion, a biguanide derivative, an  $\alpha$ -glucosidase-inhibitor, an  $\alpha$ 2-adrenergic-antagonist and/or a sulfonamide, preferably a sulfonylurea. Preferred enzymic NO donors are nitroglycerin, racemic **isosorbide** mononitrate, and/or its stereoisomers, racemic **isosorbide** dinitrate and/or its stereoisomers, erythrityl tetranitrate, pentaerythritol-tetranitrate, methylpropyl-propanediol-dinitrate, propatyl nitrate, trolnitrate, tenitramine and/or nicorandil. The invention includes methods of treatment and processes to prepare the compns.

IT 97322-87-7, Troglitazone 111025-46-8,

Pioglitazone 122320-73-4, Rosiglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic combinations containing enzymic nitric oxide donor)

L17 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 31 Aug 2001

ACCESSION NUMBER: 2001:635880 HCAPLUS

DOCUMENT NUMBER: 135:200473

TITLE: Methods and compositions based on insulin-sensitivity increasing substances for the treatment of alopecia and other disorders of the pilosebaceous apparatus

INVENTOR(S): Krajcik, Rozlyn A.; Orentreich, Norman

PATENT ASSIGNEE(S): Orentreich Foundation for the Advancement of Science, Inc., USA

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062237	A2	20010830	WO 2001-US5653	20010223
WO 2001062237	A3	20020613		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1267850	A2	20030102	EP 2001-914437	20010223
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2002143039	A1	20021003	US 2002-73607	20020211

10/606632

PRIORITY APPLN. INFO.:

US 2000-184398P P 20000223

WO 2001-US5653 W 20010223

AB Insulin sensitivity increasing substances (ISIS), including but not limited to D-chiro-inositol, thiazolidinedione and derivs., and biguanides, are useful in the treatment of hair loss and other disorders of the pilosebaceous apparatus (hirsutism, acne, etc.) associated with conditions

of excess insulin and/or insulin resistance. The treatment comprises administering to a mammal, such as a human, at least one ISIS either alone or in combination with at least one agent, such as an androgen receptor blocker (ARB) and/or a steroid enzyme inhibitor or inducer (STI). Addnl., an activity enhancing agent may be included for topical administration. For example, the onset of age-dependent hair loss in female ob/ob (obese) mice was delayed by oral metformin-HCl treatment using a dose of 240 mg/kg. Clear differences were seen between the incidence of hair loss in control vs. metformin HCl-treated animals in animals that were older than 300 days. The incidence of hair loss in metformin HCl-treated animals at 370 days of age was 30% compared to 60% incidence of hair loss in non-treated animals. In animals that were 300 days of age, about 20% of the metformin HCl-treated animals exhibited hair loss in contrast to the control animals, which showed about a 40% incidence of hair loss. Addnl., it was noted in the study that obese mice were prone to a spontaneous skin condition which may resemble human acanthosis nigricans or migratory ichthyosis. Although this condition was not fully characterized, the metformin HCl-treated animal group exhibited markedly less incidence of this skin condition relative to the control animals, the majority of which were affected by the skin condition. In addition, transient changes in hair loss patterns were occasionally noted in some of the animals during the course of the study. For example, an animal which presented with very moderate hair loss (i.e., only possible thinning of hair coat) for a period of 2-3 wk might later exhibit no hair loss and sustain that grade for an extended period of time.

IT 52-01-7, Spironolactone 97322-87-7,  
Troglitazone 111025-46-8, Pioglitazone  
122320-73-4, Rosiglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comps. containing insulin-sensitivity increasing compds. for treatment

of

alopecia and other disorders of pilosebaceous apparatus)

L17 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 01 Jun 2001

ACCESSION NUMBER: 2001:396644 HCAPLUS

DOCUMENT NUMBER: 135:24671

TITLE: Solid carriers for improved delivery of active  
ingredients in **pharmaceutical  
compositions**

INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

Searcher : Shears 571-272-2528

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037808	A1	20010531	WO 2000-US32255	20001122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248363	B1	20010619	US 1999-447690	19991123
EP 1233756	A1	20020828	EP 2000-980761	20001122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003517470	T2	20030527	JP 2001-539423	20001122
PRIORITY APPLN. INFO.:				
			US 1999-447690	A 19991123
			WO 2000-US32255	W 20001122

AB The present invention provides solid **pharmaceutical compns.** for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid **pharmaceutical composition** includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid **pharmaceutical composition** includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and diagnostic agents. A composition contained glyburide 1, PEG 40 stearate 33, glycerol monolaurate 17, and nonpareil seed 80 g.

IT 52-01-7, Spironolactone 97322-87-7,

Troglitazone 111025-46-8, Pioglitazone

122320-73-4, Rosiglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(solid carriers for improved delivery of active ingredients in **pharmaceutical compns.**)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 27 Apr 2001

ACCESSION NUMBER: 2001:300514 HCAPLUS

DOCUMENT NUMBER: 134:331617

TITLE: Oil-in-water emulsion compositions for polyfunctional active ingredients

INVENTOR(S): Chen, Feng-jing; Patel, Mahesh V.

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English



FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001028555	A1	20010426	WO 2000-US28835	20001018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002107265	A1	20020808	US 1999-420159	19991018
US 6720001	B2	20040413		

## PRIORITY APPLN. INFO.:

US 1999-420159 A 19991018

AB Pharmaceutical oil-in-water emulsions for delivery of polyfunctional active ingredients with improved loading capacity, enhanced stability, and reduced irritation and local toxicity are described. Emulsions include an aqueous phase, an oil phase comprising a structured triglyceride, and an emulsifier. The structured triglyceride of the oil phase is substantially free of triglycerides having three medium chain (C6-C12) fatty acid moieties, or a combination of a long chain triglyceride and a polarity-enhancing polarity modifier. The present invention also provides methods of treating an animal with a polyfunctional active ingredient, using dosage forms of the pharmaceutical emulsions. For example, an emulsion was prepared, with cyclosporin A as the polyfunctional active ingredient dissolved in an oil phase including a structured triglyceride (Captex 810D) and a long chain triglyceride (safflower oil). The

## composition

contained (by weight) cyclosporin A 1.0, Captex 810D 5.0, safflower oil 5.0, BHT 0.02, egg phospholipid 2.4, dimyristoylphosphatidyl glycerol 0.2, glycerol 2.25, EDTA 0.01, and water up to 100%, resp.

IT 52-01-7, Spironolactone 97322-87-7,

Troglitazone 111025-46-8, Pioglitazone

122320-73-4, Rosiglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oil-in-water emulsion compns. for polyfunctional active ingredients)

## REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 08 Dec 2000

ACCESSION NUMBER: 2000:861473 HCAPLUS

DOCUMENT NUMBER: 134:32972

TITLE: Porous drug matrixes containing polymers and sugars and methods of their manufacture

INVENTOR(S): Straub, Julie; Bernstein, Howard; Chickering, Donald E., III; Khatak, Sarwat; Randall, Greg

PATENT ASSIGNEE(S): Acusphere, Inc., USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000072827	A2	20001207	WO 2000-US14578	20000525
WO 2000072827	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6395300	B1	20020528	US 1999-433486	19991104
EP 1180020	A2	20020220	EP 2000-939365	20000525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010984	A	20020430	BR 2000-10984	20000525
JP 2003500438	T2	20030107	JP 2000-620939	20000525
NZ 516083	A	20030829	NZ 2000-516083	20000525
AU 768022	B2	20031127	AU 2000-54459	20000525
US 2002041896	A1	20020411	US 2001-798824	20010302
US 6610317	B2	20030826		
NO 2001005753	A	20020128	NO 2001-5753	20011126
ZA 2001010347	A	20030730	ZA 2001-10347	20011218
PRIORITY APPLN. INFO.:				
			US 1999-136323P	P 19990527
			US 1999-158659P	P 19991008
			US 1999-433486	A 19991104
			US 2000-186310P	P 20000302
			WO 2000-US14578	W 20000525

AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or second solns., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. The pore forming agent can be either a volatile liquid that is immiscible with the **drug** solvent or a volatile solid **compound**, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Paclitaxel or docetaxel can be provided in a porous matrix form, which allows the drug to be formulated without solubilizing agents and administered as a bolus. For example, a nifedipine-loaded organic

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solution was prepared by dissolving 9.09 g of PEG 3350, 2.27 g of nifedipine, and 0.009 g of lecithin in 182 mL of methylene chloride. An aqueous solution was prepared by dissolving 3.27 g of NH<sub>4</sub>HCO<sub>3</sub> and 0.91 g of PEG 3350 in 1.82 mL of water. The aqueous and organic solns. were homogenized and resulting emulsion was spray dried. A suspension of the porous nifedipine drug matrix was prepared in 5% dextrose solution at a concentration of 2.5 mg/mL. A bolus injection of the suspension was tolerated when administrated to dogs.

IT 77-36-1, Chlorthalidone 97322-87-7, Troglitazone  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(preparation of porous matrixes containing hydrophilic polymers and sugars for enhancement of drug dissoln.)

L17 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 13 Oct 2000

ACCESSION NUMBER: 2000:725436 HCAPLUS

DOCUMENT NUMBER: 133:301171

TITLE: Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents

INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059475	A1	20001012	WO 2000-US7342	20000316
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6383471	B1	20020507	US 1999-287043	19990406
EP 1165048	A1	20020102	EP 2000-916547	20000316
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			

PRIORITY APPLN. INFO.: US 1999-287043 A 19990406  
WO 2000-US7342 W 20000316

AB The present invention is directed to a **pharmaceutical composition** including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The

Searcher : Shears 571-272-2528

invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent,

and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric

acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

IT 54-31-9 58-54-8, Ethacrynic acid  
59-66-5, Acetazolamide 77-36-1, Chlorthalidone  
396-01-0, Triamterene 2609-46-3,  
Amiloride 97322-87-7, Troglitazone  
111025-46-8, Pioglitazone 122320-73-4,  
Rosiglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical compns. containing hydrophobic  
therapeutic agents and carriers containing ionizing agents and  
surfactants  
and triglycerides)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 01 Sep 2000

ACCESSION NUMBER: 2000:608551 HCAPLUS

DOCUMENT NUMBER: 133:213151

TITLE: **Pharmaceutical compositions and  
methods for improved delivery of hydrophobic  
therapeutic agents**

INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050007	A1	20000831	WO 2000-US165	20000105
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6294192	B1	20010925	US 1999-258654	19990226
AU 2000022242	A5	20000914	AU 2000-22242	20000105
AU 771659	B2	20040401		

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EP 1158959 A1 20011205 EP 2000-901394 20000105  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO  
JP 2002537317 T2 20021105 JP 2000-600619 20000105  
NZ 513810 A 20040227 NZ 2000-513810 20000105  
PRIORITY APPLN. INFO.: US 1999-258654 A 19990226  
WO 2000-US165 W 20000105

AB The present invention relates to triglyceride-free **pharmaceutical compns.** for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the surfactants containing the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A **pharmaceutical composition** contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacell186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT 52-01-7, Spironolactone 97322-87-7,  
Troglitazone 111025-46-8, Pioglitazone  
122320-73-4, Rosiglitazone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(**pharmaceutical compns.** and methods for improved  
delivery of hydrophobic therapeutic agents)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

ED Entered STN: 11 Aug 2000

ACCESSION NUMBER: 2000:553395 HCAPLUS

DOCUMENT NUMBER: 133:155456

TITLE: Topical sprays containing film-forming polymers

INVENTOR(S): Lulla, Amar; Malhotra, Geena; Raut, Preeti

PATENT ASSIGNEE(S): Cipla Limited, India

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000045795	A2	20000810	WO 2000-GB366	20000207
WO 2000045795	A3	20010809		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

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IN 186668	A	20011020	IN 1999-BO93	19990205
CA 2359640	AA	20000810	CA 2000-2359640	20000207
AU 2000024472	A5	20000825	AU 2000-24472	20000207
AU 759515	B2	20030417		
BR 2000007997	A	20011030	BR 2000-7997	20000207
EP 1150661	A2	20011107	EP 2000-902727	20000207
EP 1150661	B1	20031022		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

JP 2002536319	T2	20021029	JP 2000-596915	20000207
NZ 513208	A	20030530	NZ 2000-513208	20000207
AT 252380	E	20031115	AT 2000-902727	20000207
PT 1150661	T	20040227	PT 2000-902727	20000207
ES 2209812	T3	20040701	ES 2000-902727	20000207
ZA 2000005727	A	20001221	ZA 2000-5727	20001017
NO 2001003815	A	20011002	NO 2001-3815	20010803
HK 1042043	A1	20040408	HK 2002-103295	20020502

PRIORITY APPLN. INFO.:

IN 1999-BO92	A	19990205
IN 1999-BO93	A	19990205
IN 1999-BO382	A	19990520
IN 1999-BO582	A	19990817
WO 1999-GB2998	W	19990909
IN 2000-BO43	A	20000113
IN 2000-BO44	A	20000113
WO 2000-GB366	W	20000207

AB A topical, medicinal spray **composition** comprises one or more **medicaments** in a volatile vehicle, and one or more film-forming polymers. When sprayed on a topical site, the composition forms a

stable, breathable film from which the medicaments are transdermally available. Preferably, the composition comprises 0.1-30 % of one or more medicaments, 0.1-15 % film-forming polymers, 0.1-10 % solubilizers, 0.1-8 % permeation enhancers, 1.0-10 % plasticizers, and a vehicle q.s. 100 %. The invention includes a spray dispenser containing the topical composition

An

aerosol contained estradiol 2, PVP K-30 6, vinylacetate-vinylpyrrolidone copolymer 4, vitamin E 1, polyethylene glycol-6000 2, polyethylene glycol 3, dichlorodifluoromethane 24.9, and trichloromonofluoromethane 57.1 %.

IT **2609-46-3, Amiloride 122320-73-4,**

**Rosiglitazone**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(topical sprays containing film-forming polymers)

(FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 11:07:13 ON 08 OCT 2004)

L18 11 S L17

L19 11 DUP REM L18 (0 DUPLICATES REMOVED)

L19 ANSWER 1 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-191100 [18] WPIDS

CROSS REFERENCE: 2000-303363 [26]; 2001-281805 [29]; 2002-425895 [45];  
2003-183864 [18]; 2003-708770 [67]; 2003-767190 [72];  
2003-897031 [82]; 2004-190670 [18]; 2004-327673 [30];  
2004-478988 [45]; 2004-579872 [56]

DOC. NO. CPI: C2004-075331

TITLE: Nanoparticulate liquid dosage **composition**

Searcher : Shears 571-272-2528

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useful as **medicament** in the treatment of e.g.  
cancer, inflammatory bowel disease comprises particles of  
active agent, surface stabilizer and osmotically active  
crystal growth inhibitor.

DERWENT CLASS:

A96 B05 B07

INVENTOR(S):

BOSCH, W H; HILBORN, M R; HOVEY, D C; KLINE, L J; LEE, R  
W; PRUITT, J D; RYDE, N P; RYDE, T A; XU, S

PATENT ASSIGNEE(S):

(ELAN-N) ELAN PHARMA INT LTD

COUNTRY COUNT:

105

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2004006959	A1	20040122	(200418)*	EN	68
RW:	AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS				
	LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW				
W:	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK				
	DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR				
	KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH				
	PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC				
	VN YU ZA ZM ZW				
AU 2003261167	A1	20040202	(200450)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2004006959	A1	WO 2003-US22187	20030716
AU 2003261167	A1	AU 2003-261167	20030716

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003261167	A1 Based on	WO 2004006959

PRIORITY APPLN. INFO: US 2002-396530P 20020716

AN 2004-191100 [18] WPIDS

CR 2000-303363 [26]; 2001-281805 [29]; 2002-425895 [45]; 2003-183864 [18];  
2003-708770 [67]; 2003-767190 [72]; 2003-897031 [82]; 2004-190670 [18];  
2004-327673 [30]; 2004-478988 [45]; 2004-579872 [56]

AB WO2004006959 A UPAB: 20040901

NOVELTY - Nanoparticulate liquid dosage composition (C1) comprises:

(1) particles of at least one active agent (a) having an average  
particle size of less than 2000 nm;

(2) at least one (preferably at least two) surface stabilizer (b);  
and

(3) at least one osmotically active crystal growth inhibitor (c).

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for  
preparation of (C1) involving:

(1) contacting the particles of at least one (a) having an average  
particle size of less than 2 microns with at least one (b) for a time and  
under conditions to provide a nanoparticulate active agent composition  
(C2) by grinding (preferably wet grinding) and homogenizing; and

(2) adding at least one (c) to (C2) before, during or after the  
active agent particle size reduction.

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ACTIVITY - Cytostatic; Gynecological; Depilatory; Anti-HIV; Antimigraine; Analgesic; Anabolic; Eating-Disorders-Gen.; Immunomodulator; Antiemetic; Gastrointestinal-Gen.; Antiinflammatory; Antiulcer; Antirheumatic; Antiarthritic; Nephrotropic; Osteopathic; Nootropic; Neuroprotective; Antigout; Dermatological; Ophthalmological; Urothatic.

MECHANISM OF ACTION - None given.

USE - In the preparation of a medicament for treating neoplastic disease, cancer (e.g. breast, endometrial, uterine, cervical, prostate and renal cancer), hormone replacement therapy in post-menopausal women, endometriosis, hirsutism, dysmenorrhea, uterine bleeding, HIV wasting, cancer wasting, migraine headache, cachexia, anorexia, castration, oral contraception, motion sickness, emesis related to cytotoxic drugs, gastritis, ulcers, dyspepsia, gastroenteritis (e.g. colitis and food poisoning), inflammatory bowel disease, Crohn's disease, pain, inflammation, arthritis (e.g. osteoarthritis, rheumatoid arthritis, juvenile arthritis, infectious arthritis and psoriatic arthritis), kidney disease, osteoporosis, Alzheimer's disease, familial adenomatous polyposis, gout, ankylosing spondylitis, systemic lupus erythematosus, bursitis, tendinitis, myofascial pain, carpal tunnel syndrome, fibromyalgia syndrome, reiter's syndrome, scleroderma and other conditions accompanied by symptoms of nausea and vomiting) (all claimed).

ADVANTAGE - The active agent form crystals upon storage or heating in the absence of osmotically active crystal growth inhibitor. At least 70 (preferably at least 90, especially at least 95)% particles of the nanoparticulate active agent have a particle size less than the effective average particle size. In the composition, the amount of the active agent per ml is at least the amount of the active agent per ml of a standard conventional non-nanoparticulate liquid dosage composition of the same active agent. The viscosity of the composition is less than 1 divided by 10 (preferably less than 1 divided by 100, especially divided by 200) and less than 90 (preferably less than 55, especially less than 5)% of the viscosity of a standard conventional non-nanoparticulate liquid dosage composition of the same active agent at a same concentration per ml of the active agent. The active agent has a Tmax less than the Tmax of the active agent, when assayed in the plasma of a mammalian subject following the administration and (not more than 90, preferably not more than 50, especially not more than 10)% of the Tmax exhibited by a non-particulate formulation of the same active agent, administered at the same dosage. The active agent has a Cmax of greater than the Cmax for a conventional, non-nanoparticulate form of the same active agent by (at least 10, preferably at least 50, especially at least 100)% at the same dosage, when assayed in the plasma of a mammalian subject following administration. The active agent has an AUC greater than the AUC of the active agent for a conventional non-nanoparticulate form by at least 10 (preferably at least 50, especially at least 100)% of the same active agent administered at the same dosage, when assayed in the plasma of a mammalian subject following administration. The composition does not produce significantly different absorption levels when administered under fed as compared to fasting conditions, and the difference in absorption when administered in the fed versus the fasted state is less than 100 (preferably less than 50, especially less than 3)%. The administration of the composition in a fasted state is bioequivalent (where the bioequivalency is established by a 90% confidence interval of 0.8 - 1.25 for both Cmax and AUC, when administered to a human) to the administration of the composition to the subject in a fed state, when administered to a human. The composition is bioadhesive.



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L19 ANSWER 2 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2004-579872 [56] WPIDS  
 CROSS REFERENCE: 2000-303363 [26]; 2000-376273 [32]; 2001-281805 [29];  
 2002-425895 [45]; 2003-183864 [18]; 2003-708770 [67];  
 2003-767190 [72]; 2003-897031 [82]; 2004-190670 [18];  
 2004-191100 [18]; 2004-478988 [45]  
 DOC. NO. NON-CPI: N2004-458422  
 DOC. NO. CPI: C2004-211287  
 TITLE: Composition, useful to treat e.g. asthma, seasonal  
 allergic rhinitis, contact dermatitis, psoriasis and  
 ulcerative colitis, comprises particles of at least one  
 triamcinolone and at least one surface stabilizer.  
 DERWENT CLASS: A96 B01 P34  
 INVENTOR(S): BOSCH, H W; COOPER, E R; OSTRANDER, K D  
 PATENT ASSIGNEE(S): (ELAN-N) ELAN PHARMA INT LTD  
 COUNTRY COUNT: 1  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 2004141925	A1	20040722	(200456)*		28

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2004141925	A1 CIP of	US 1998-190138	19981112
	CIP of	US 1999-337675	19990622
	Div ex	US 1999-414159	19991008
	Cont of	US 2000-666539	20000921
	Cont of	US 2000-715117	20001120
	CIP of	US 2001-4808	20011207
	Provisional	US 2002-353230P	20020204
	CIP of	US 2002-75443	20020215
	Provisional	US 2002-396530P	20020716
	CIP of	US 2003-345312	20030116
	CIP of	US 2003-357514	20030204
	CIP of	US 2003-619539	20030204
		US 2003-697716	20031031

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 2004141925	A1 Cont of	US 6375986
	Div ex	US 6428814
	CIP of	US 6592903

PRIORITY APPLN. INFO: US 2003-697716 20031031; US  
 1998-190138 19981112; US  
 1999-337675 19990622; US  
 1999-414159 19991008; US  
 2000-666539 20000921; US  
 2000-715117 20001120; US

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2001-4808 20011207; US  
 2002-353230P 20020204; US  
 2002-75443 20020215; US  
 2002-396530P 20020716; US  
 2003-345312 20030116; US  
 2003-357514 20030204; US  
 2003-619539 20030204

AN 2004-579872 [56] WPIDS  
 CR 2000-303363 [26]; 2000-376273 [32]; 2001-281805 [29]; 2002-425895 [45];  
 2003-183864 [18]; 2003-708770 [67]; 2003-767190 [72]; 2003-897031 [82];  
 2004-190670 [18]; 2004-191100 [18]; 2004-478988 [45]  
 AB US2004141925 A UPAB: 20040901

NOVELTY - Composition (A) comprises particles of at least one triamcinolone (I) (having an effective average particle size of less than about 2000 nm) or its salts and at least one surface stabilizer (II).

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for preparation of (A).

ACTIVITY - Antiinflammatory; Antiarthritic; Dermatological; Antipsoriatic; Hemostatic; Nephrotropic; Ophthalmological; Antithyroid; Gastrointestinal-Gen.; Antiulcer; Antiallergic; Asthmatic; Cytostatic; Vulnerary; Endocrine-Gen.; Auditory; Virucide; Antianemic.

No biological data given.

MECHANISM OF ACTION - None given.

USE - (A) is useful to treat indications (where glucocorticoids and steroidal anti-inflammatory agents are typically used) such as arthritis, skin disorders (preferably contact dermatitis, atopic dermatitis, psoriasis, eczema or general dermatitis), blood disorders, kidney disorders, eye disorders, thyroid disorders, intestinal disorders (preferably ulcerative colitis, colitis, gastroenteritis, irritable bowel disorder or Crohn's disease), allergies, bronchial asthma, cancer, neoplastic diseases, tendinitis, allergic reactions, oral inflammation, oral lesions, oral ulcers, bursitis, epicondylitis, keloids, endocrine disorders, herpes zoster ophthalmicus, hemolytic anemia or acute rheumatic carditis (preferably asthma, seasonal allergic rhinitis and perennial allergic rhinitis) in a subject (preferably a human) (all claimed).

ADVANTAGE - (A) is bioadhesive (claimed). The nano particulate of (I) exhibits faster therapeutic effects. (A) exhibits faster onset of action, a potential decrease in the frequency of dosing, smaller doses of (I) and its derivatives required to obtain the same pharmacological effect, increased bioavailability, an increased rate of dissolution, improved performance characteristics for oral, intravenous, subcutaneous or intramuscular injection, such as higher dose loading and smaller tablet or liquid dose volumes and improved T<sub>max</sub>, C<sub>max</sub> and AUC profile.

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L19 ANSWER 3 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2004-399399 [37] WPIDS  
 CROSS REFERENCE: 2003-067940 [06]; 2003-067941 [06]; 2003-067942 [06];  
 2003-067943 [06]; 2003-067944 [06]; 2003-067945 [06];  
 2003-067946 [06]; 2003-067947 [06]; 2003-067948 [06];  
 2003-067949 [06]; 2003-067950 [06]; 2003-067951 [06];  
 2003-067952 [06]; 2003-067953 [06]; 2003-067954 [06];  
 2003-067955 [06]; 2003-067956 [06]; 2003-067957 [06];  
 2003-112259 [10]; 2003-120749 [11]; 2003-120750 [11];  
 2003-129366 [12]; 2003-140547 [13]; 2003-156819 [15];  
 2003-371875 [35]; 2003-402067 [38]; 2003-457351 [43];

10/606632

2003-505170 [47]; 2003-569111 [53]; 2003-597221 [56];  
2003-598318 [56]; 2004-089096 [09]; 2004-389125 [36];  
2004-641994 [62]; 2004-642029 [62]  
DOC. NO. NON-CPI: N2004-318404  
TITLE: **Composition** useful for delivery of **drug**  
comprises condensation aerosol formed by volatilization  
of heat stable **drug composition** to  
produce a heated vapor and condensing the heated vapor to  
form condensation aerosol particles.  
DERWENT CLASS: B07 P34  
INVENTOR(S): HALE, R L; HODGES, C C; LLOYD, P M; LU, A T; MYERS, D J;  
RABINOWITZ, J D; WENSLEY, M J  
PATENT ASSIGNEE(S): (ALEX-N) ALEXZA MOLECULAR DELIVERY CORP  
COUNTRY COUNT: 1  
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 2004099269	A1	20040527	(200437)*		84

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE	
US 2004099269	A1	Provisional	US 2001-294203P	20010524
		Provisional	US 2001-296225P	20010605
		Provisional	US 2001-317479P	20010905
		CIP of	US 2001-57197	20011026
		CIP of	US 2001-57198	20011026
		Provisional	US 2001-335049P	20011030
		Provisional	US 2001-336218P	20011030
		Provisional	US 2001-345145P	20011109
		Provisional	US 2001-345876P	20011109
		Provisional	US 2001-345882P	20011109
		Provisional	US 2001-332165P	20011121
		Provisional	US 2001-332279P	20011121
		Provisional	US 2001-332280P	20011121
		Provisional	US 2001-342066P	20011218
		CIP of	US 2002-50056	20020114
		Provisional	US 2002-371457P	20020409
		CIP of	US 2002-146080	20020513
		CIP of	US 2002-146086	20020513
		CIP of	US 2002-146088	20020513
		CIP of	US 2002-146515	20020513
		CIP of	US 2002-146516	20020513
		CIP of	US 2002-150267	20020515
		CIP of	US 2002-150268	20020515
		CIP of	US 2002-151596	20020516
		CIP of	US 2002-151626	20020516
		CIP of	US 2002-150591	20020517
		CIP of	US 2002-150857	20020517
		CIP of	US 2002-152639	20020520
		CIP of	US 2002-152640	20020520
		CIP of	US 2002-152652	20020520
		CIP of	US 2002-153139	20020520
		CIP of	US 2002-153311	20020521

Searcher : Shears 571-272-2528

10/606632

CIP of	US 2002-153313	20020521
CIP of	US 2002-153831	20020521
CIP of	US 2002-153839	20020521
CIP of	US 2002-155373	20020522
CIP of	US 2002-155621	20020522
CIP of	US 2002-155703	20020522
CIP of	US 2002-155705	20020522
CIP of	US 2002-154594	20020523
CIP of	US 2002-154765	20020523
CIP of	US 2002-155097	20020523
Provisional	US 2002-412068P	20020918
CIP of	US 2002-280315	20021025
CIP of	US 2002-302010	20021121
CIP of	US 2002-302614	20021121
CIP of	US 2002-322227	20021217
CIP of	US 2003-633876	20030804
CIP of	US 2003-633877	20030804
	US 2003-718982	20031120

FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 2004099269	A1 CIP of	US 6682716
	CIP of	US 6716415
	CIP of	US 6716416
	CIP of	US 6716417

PRIORITY APPLN. INFO: US 2003-718982 20031120; US

2001-294203P	20010524; US
2001-296225P	20010605; US
2001-317479P	20010905; US
2001-57197	20011026; US
2001-57198	20011026; US
2001-335049P	20011030; US
2001-336218P	20011030; US
2001-345145P	20011109; US
2001-345876P	20011109; US
2001-345882P	20011109; US
2001-332165P	20011121; US
2001-332279P	20011121; US
2001-332280P	20011121; US
2001-342066P	20011218; US
2002-50056	20020114; US
2002-371457P	20020409; US
2002-146080	20020513; US
2002-146086	20020513; US
2002-146088	20020513; US
2002-146515	20020513; US
2002-146516	20020513; US
2002-150267	20020515; US
2002-150268	20020515; US
2002-151596	20020516; US
2002-151626	20020516; US
2002-150591	20020517; US
2002-150857	20020517; US

Searcher : Shears 571-272-2528

2002-152639	20020520; US
2002-152640	20020520; US
2002-152652	20020520; US
2002-153139	20020520; US
2002-153311	20020521; US
2002-153313	20020521; US
2002-153831	20020521; US
2002-153839	20020521; US
2002-155373	20020522; US
2002-155621	20020522; US
2002-155703	20020522; US
2002-155705	20020522; US
2002-154594	20020523; US
2002-154765	20020523; US
2002-155097	20020523; US
2002-412068P	20020918; US
2002-280315	20021025; US
2002-302010	20021121; US
2002-302614	20021121; US
2002-322227	20021217; US
2003-633876	20030804; US
2003-633877	20030804

AN 2004-399399 [37] WPIDS

CR 2003-067940 [06]; 2003-067941 [06]; 2003-067942 [06]; 2003-067943 [06];  
 2003-067944 [06]; 2003-067945 [06]; 2003-067946 [06]; 2003-067947 [06];  
 2003-067948 [06]; 2003-067949 [06]; 2003-067950 [06]; 2003-067951 [06];  
 2003-067952 [06]; 2003-067953 [06]; 2003-067954 [06]; 2003-067955 [06];  
 2003-067956 [06]; 2003-067957 [06]; 2003-112259 [10]; 2003-120749 [11];  
 2003-120750 [11]; 2003-129366 [12]; 2003-140547 [13]; 2003-156819 [15];  
 2003-371875 [35]; 2003-402067 [38]; 2003-457351 [43]; 2003-505170 [47];  
 2003-569111 [53]; 2003-597221 [56]; 2003-598318 [56]; 2004-089096 [09];  
 2004-389125 [36]; 2004-641994 [62]; 2004-642029 [62]

AB US2004099269 A UPAB: 20041001

NOVELTY - A composition comprises a condensation aerosol formed by volatilization of a heat stable **drug composition** to produce a heated vapor of the **drug composition** and condensing the heated vapor of the **drug composition** to form condensation aerosol particles which contain less than 10% drug degradation products and has MMAD less than 3 micro m.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a kit for delivering a **drug** condensation aerosol comprising a **composition** devoid of solvents and excipients comprising a heat stable drug compound in a unit dose form and a device for forming or dispensing a drug aerosol comprising an element configured to heat the composition to form a vapor, an element allowing the vapor to condense to form a condensation aerosol, and an element permitting a user to inhale the condensation aerosol.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - For delivery of a drug; inhalation therapy (claimed); for the treatment of disease and intermittent or acute conditions.

ADVANTAGE - The aerosol is devoid of excipient or devoid of propellants and organic solvents. The drug exhibits an increasing level of drug degradation products with increasing film thickness. The condensation aerosol particles has less than 10 (preferably less than 5, especially less than 2.5)% drug degradation products and has aerosol mass median

aerodynamic diameter (MMAD) of less than 3 (preferably 1 - 3, especially 0.01 - 3, particularly less than 1)  $\mu$ . The drug aerosol has a purity of 90 - 99.8 (preferably 93 - 99.7, especially 95 - 99.5, particularly 96.5 - 99.2).

Dwg.0/27

L19 ANSWER 4 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2003-853476 [79] WPIDS  
 DOC. NO. CPI: C2003-240431  
 TITLE: Immediate release granule composition for treating bacterial infection e.g. bovine respiratory disease comprises a drug, a first excipient and a wetting amount of a second excipient.  
 DERWENT CLASS: A96 B05 B07  
 INVENTOR(S): REMON, J; VERVAET, K  
 PATENT ASSIGNEE(S): (UYGE-N) UNIV GENT  
 COUNTRY COUNT: 102  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2003074031	A1	20030912	(200379)*	EN	15
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW					
AU 2003215449	A1	20030916	(200430)		

#### APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003074031	A1	WO 2003-BE40	20030305
AU 2003215449	A1	AU 2003-215449	20030305

#### FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003215449	A1 Based on	WO 2003074031

PRIORITY APPLN. INFO: GB 2002-5253 20020306

AN 2003-853476 [79] WPIDS

AB WO2003074031 A UPAB: 20031208

NOVELTY - An immediate release granule **composition** comprises at least one **drug** classifiable as Class II or Class IV of the Biopharmaceutical Classification System, a first excipient and a wetting amount of a second excipient.

DETAILED DESCRIPTION - An immediate release granule **composition** (C1) comprises: at least one **drug** (a) classifiable as Class II or Class IV of the Biopharmaceutical Classification System (at least 0.5-20) weight%; a first excipient (b) selected from a blend of a microcrystalline cellulose and a swellable

polymer in a weight ratio of the polymer to the microcrystalline cellulose in the blend is above 2:100-30:100 and/or at least one dextrin-containing compound selected from maltodextrin, cyclodextrin or their derivative; and mixtures of both; and a wetting amount of a second excipient (c) selected from a non-aqueous wetting compound or a meltable compound, comprising a solid fraction, and optionally a liquid fraction.

INDEPENDENT CLAIMS are included for the following:

(a) preparation of (C1) involving:

(1) homogenizing a mixture comprising (a), (b) and solid fraction of (c);

(2) feeding the mixture obtained above and optionally the liquid fraction of (c) into an extruding device having at least one mixing zone and at least one transport zone;

(3) extruding the materials obtained as above, while operating the extruding device at a temperature not above the melting temperature of the solid fraction of (c) until (C1) is obtained;

(b) a solid shaped article comprising a core containing (C1);

(c) a sachet comprising (C1); and

(d) (C1) or the solid shaped article comprising (C1) in combination with an animal feed for oral administration to an animal.

ACTIVITY - Respiratory-Gen.; Antibacterial.

No biological data given.

MECHANISM OF ACTION - None given.

USE - For the treatment of bacterial infections in humans and animals, such as in cattle (e.g. bovine respiratory disease), swine, sheep, goats, poultry and fish.

ADVANTAGE - The composition provides an oral immediate release of the class II (poorly soluble, highly permeable) and class IV (poorly soluble, poorly permeable) drugs, but having good oral bioavailability and no absorption problems, and available in the injectable forms in the prior art. The composition provides an immediate release of at least 50 (preferably at least 70)% of the drug within 30 (preferably 10) minutes in water.

Dwg.0/3

L19 ANSWER 5 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2003-523157 [49] WPIDS  
 DOC. NO. CPI: C2003-140754  
 TITLE: Preparation of solid dispersion comprises dissolving water insoluble drug and substituted cyclodextrin in organic solvent followed by drying.  
 DERWENT CLASS: B05 B07  
 INVENTOR(S): JANG, S Y; SONG, J S; CHANG, S; SONG, J  
 PATENT ASSIGNEE(S): (DDST-N) DDS TECH CO LTD  
 COUNTRY COUNT: 102  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2003043602	A1	20030530	(200349)*	EN	15
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU					
MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK					
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KZ					
LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO					
RU SC SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA					

10/606632

ZM ZW  
KR 2003041577 A 20030527 (200361)  
AU 2002366042 A1 20030610 (200419)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003043602	A1	WO 2002-KR2151	20021118
KR 2003041577	A	KR 2001-72412	20011120
AU 2002366042	A1	AU 2002-366042	20021118

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002366042	A1 Based on	WO 2003043602

PRIORITY APPLN. INFO: KR 2001-72412 20011120

AN 2003-523157 [49] WPIDS

AB WO2003043602 A UPAB: 20030731

NOVELTY - Preparation of a solid dispersion comprising a water insoluble drug and a substituted cyclodextrin comprises dissolving the drug and the cyclodextrin in non-aqueous organic solvent followed by drying under reduced pressure or by spray drying.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a **pharmaceutical composition** which comprises the solid dispersion and a carrier.

ACTIVITY - None given

MECHANISM OF ACTION - None given.

USE - Used for manufacture of a solid dispersion (claimed).

ADVANTAGE - The method improves the dissolution rate and speed of water insoluble drugs, maximizes the bioavailability of the drug by promoting internal absorption and minimizes gastrointestinal side effects. The solid dispersion improves an individual's adaptability to the drugs producing side effects on the gastrointestinal tract when solubilized.  
Dwg.0/6

L19 ANSWER 6 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2003-393252 [37] WPIDS

CROSS REFERENCE: 2002-519166 [55]; 2003-747944 [70]; 2003-833251 [77];  
2004-011505 [01]; 2004-062118 [06]

DOC. NO. CPI: C2003-104367

TITLE: Composition comprising a polypeptide as a carrier and a drug, e.g. nelfinavir, attached covalently to the polypeptide, useful for delivery, protection and controlled release of drugs to patients.

DERWENT CLASS: A96 B04 B05 B07 D16

INVENTOR(S): PICARIELLO, T; BOERTH, N J; GOLDSTEIN, A S; MONCRIEF, J S; OLON, L P; PICCARIELLO, T

PATENT ASSIGNEE(S): (NEW-R) NEW RIVER PHARM INC

COUNTRY COUNT: 28

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
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Searcher : Shears 571-272-2528



10/606632

WO 2003020200 A2 20030313 (200337)\* EN  
EP 1357928 A2 20031105 (200377) EN  
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT  
RO SE SI TR  
CN 1476325 A 20040218 (200430)  
US 2004087483 A1 20040506 (200430)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003020200	A2	WO 2001-US43117	20011116
EP 1357928	A2	EP 2001-273387	20011116
		WO 2001-US43117	20011116
CN 1476325	A	CN 2001-817714	20010822
US 2004087483	A1 CIP of	US 2000-642820	20000822
	Provisional	US 2000-248607P	20001116
	CIP of	US 2001-933708	20010822
		US 2002-136433	20020502

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1357928	A2 Based on	WO 2003020200

PRIORITY APPLN. INFO: US 2001-248858P 20011116; US  
2000-248530P 20001116; US  
2000-248535P 20001116; US  
2000-248536P 20001116; US  
2000-248600P 20001116; US  
2000-248601P 20001116; US  
2000-248603P 20001116; US  
2000-248604P 20001116; US  
2000-248606P 20001116; US  
2000-248607P 20001116; US  
2000-248608P 20001116; US  
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2000-248686P 20001116; US  
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2000-248702P 20001116; US  
2000-248703P 20001116; US  
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2000-248705P 20001116; US  
2000-248706P 20001116; US

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2000-248707P	20001116; US
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2001-248679P	20011116; US
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2001-248857P	20011116; US
2000-248524P	20001116; US
2000-642820	20000822; US
2000-247561P	20001114; US

Searcher : Shears 571-272-2528

2000-247594P	20001114; US
2000-247615P	20001114; US
2000-247632P	20001114; US
2000-247679P	20001114; US
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2000-248770P	20001116; US
2001-933708	20010822; US
2002-136433	20020502

AN 2003-393252 [37] WPIDS  
 CR 2002-519166 [55]; 2003-747944 [70]; 2003-833251 [77]; 2004-011505 [01];  
 2004-062118 [06]

AB WO2003020200 A UPAB: 20031117

NOVELTY - A composition comprising a polypeptide and one of 250 pharmaceutical drugs (described in Detailed Description section of this abstract) covalently attached to the polypeptide, is new.

DETAILED DESCRIPTION - A composition comprising a polypeptide and one of 250 pharmaceutical drugs covalently attached to the polypeptide, is new.

The drugs is chosen from leuprolide acetate, levocarnitine,

levocetirizine, levofloxacin, levofloxacin, levofloxacin, linsopril and **hydrochlorothiazide**, carbapenem antibiotic, loperamide, loracarbef, loratidine, lorazepam, losartan (optionally with **hydrochlorothiazide**), lovastatin, marimastat, mecasermin, medroxyprogesterone acetate, mefloquine, megestrol acetate, an adenosine A1 receptor antagonist, mercaptopurine, meropenem, mesalamine, mesna, metaxalone, metformin, an oral non-steroidal antiestrogen compound, methylphenidate, methylprednisone, an antifungal agent, metolazone, metoprolol, metronidazole, milrinone lactate, minocycline, mirtazapine, misoprostol, mitiglinide, mitoxantrone, mivacurium, modafinil, moexipril, montelukast, morphine, mycophenylate mofetil, nabumetone, nadolol, naproxen, naratriptan, nefazodone, nelarabine, nelfinavir, mesylate, nesiritide, nevirapine, nifedipine, nimodipine, nisoldipine, nitrofurantoin, nitroglycerin, nizatidine, norastemizole, norethindrone, norfloxacin, nortriptyline, octreotide acetate, oxycodone and acetaminophen, ofloxacin, olanzapine, omeprazole, ondansetron, oprelvekin, orlistat, orphenadrine citrate, oxaprozin, oxazepam, oxybutynin chloride, oxycodone, a gastroprokinetic compound, a macrophage colony stimulating factor, pagoclone, palivizumab, pamidronate, paricalcitol, paroxetine, pemetrexed, pemoline, penicillin V, pentosan polysulfate, pentoxifylline, pergolide, an orally active carbohydrate, phenobarbital, phenytoin, **pioglitazone**, piperacillin, pleconaril, poloxamer1, posaconazole, an insulin analogue, pramipexole, pravastatin, prednisone, pregabalin, primidone, prinomastat, prochlorperazine maleate, promethazine, a cholecystokinin antagonist, propoxyphene, propranolol, prourokinase, quetiapine fumarate, quinapril, rabepazole, raloxifene, ramipril, ranolazine, relaxin, remacemide, repaglinide, repinotan, ribavirin, riluzole, rimantadine, risperidone, ritonavir, rizatriptanbenzoate, rocuronium, rofecoxib, ropinirole, **rosiglitazone** maleate, goserelin, rubitecan, sagramostim, saquinavir, docetaxel, satraplatin, selegiline, sertraline, sevelamer, sevirumab, sibutramine, sildenafil citrate, simvastatin, sinapultide, sitafloxacin, polystyrene sulfonate, sotalol, sparfosic acid, **spironolactone**, stavudine, sucralfate, sumatriptan, tabimorelin, Tamoxifen, tamsulosin, temazepam, tenofovir disoproxil, tepoxalin, terazosin, terbinafine, terbutaline sulfate, teriparatide, tetracycline, thalidomide, theophylline, thiotepa, thrombopoetin, tiagabine, ticlopidine, tifacogin, tirapazamine, tirofiban, tizanidine, tobramycin sulfate, tolterodine, tomoxetine, topiramate, topotecan, toresemide, TPA analogue, tramadol, trandolapril, trastuzumab, trazadone, **triamterene**, **troglitazone**, trovafloxacin mesylate, urokinase, ursodiol, valacyclovir, valdecoxib, valproic acid, valsartan and **hydrochlorothiazide**, valspodar, vancomycin, vecuronium, venlafaxine, verapamil, vinorelbine tartrate, vitamin B1, vitamin C, voriconazole, warfarin, xaliprodin, zafirlukast, zaleplon, zenarestat, zidovudine, zolmitriptan, zolpidem, bleomycin, phytosterol, paclitaxel, fluticasone, fluorouracil, pseudoephedrine, a lipooxygenase inhibitor, a composite vascular protectant, an oral neuraminidase inhibitor, the soluble chimeric protein CTLA4Ig, a selective endothelin A receptor antagonist, a potassium channel modulator, a bactericidal/permeability increasing protein derivative, humanized monoclonal antibody (hu124 which is directed against CD11a), a lipid lowering agent, propofol, a cholesterol/triglyceride reducer, a recombinant hepatitis B vaccine, an angiotensin antagonist, an immunosuppressant protein, daily multivitamin, erythromycin and sulfx, ethinyl estradiol and dogestrel, estradiol and dogestrel, lithium carbonate, LYM 1, methylprednisolone, rotavirus vaccine, saquinavir,

arginine, heparin, thymosin alpha, montelukast and fexofenadine, iodothyronine, iodothyronine and thyroxine, or codeine.

USE - The **compositions** are useful for delivering **pharmaceutical** agents to a patient. Covalently attaching the drug to the polypeptide protects it from degradation and controls its release from the composition (all claimed).

ADVANTAGE - The composition protects the agent from degradation, enhances the chemical stability of the agent, alters the release profile of an orally administered product, and enhances digestion, absorption and targeted delivery to particular tissue/cell type.  
Dwg.0/5

L19 ANSWER 7 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2004-096822 [10] WPIDS  
 DOC. NO. CPI: C2004-039997  
 TITLE: Bioerodible, water-soluble, carrier device for loading or delivering drug or active agent, comprises non-bioadhesive backing layer, bioadhesive layer and composition comprising active ingredient.  
 DERWENT CLASS: A96 B05 B07 P32 P73  
 INVENTOR(S): BEAUDOIN, A G; HOLL, R; JEFFERS, S; KRAVIG, K; OSBORNE, D W; POSHUSTA, A; BEAUDOIN, G A  
 PATENT ASSIGNEE(S): (BEAU-I) BEAUDOIN A G; (HOLL-I) HOLL R; (JEFF-I) JEFFERS S; (KRAV-I) KRAVIG K; (OSBO-I) OSBORNE D W; (POSH-I) POSHUSTA A; (ATRI-N) ATRIX LAB INC  
 COUNTRY COUNT: 103  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 2003194420	A1	20031016	(200410)*	21	
WO 2003086345	A1	20031023	(200410)	EN	
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW					
AU 2003226353	A1	20031027	(200436)		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2003194420	A1	US 2002-121430	20020411
WO 2003086345	A1	WO 2003-US11313	20030411
AU 2003226353	A1	AU 2003-226353	20030411

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003226353	A1 Based on	WO 2003086345

PRIORITY APPLN. INFO: US 2002-121430 20020411

AN 2004-096822 [10] WPIDS

AB US2003194420 A UPAB: 20040210

NOVELTY - A bioerodible, water-soluble, carrier device comprises:

- (1) non-bioadhesive backing layer (1);
- (2) bioadhesive layer (2); and
- (3) composition comprising an active ingredient.

The composition is deposited onto a surface of either the non-bioadhesive backing layer or the bioadhesive layer after formation of the device.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(a) incorporation of a composition onto a preformed bioerodible, water-soluble carrier device comprising depositing the composition onto at least one surface of the preformed bioerodible, water-soluble carrier device to form a loaded bioerodible, water-soluble carrier device; and

(b) sustained delivery of a **pharmaceutical composition** to a mammal that comprises applying a bioerodible, water-soluble, carrier device to a mucosal surface of the mammal, where the composition is deposited onto a surface of the bioerodible, water-soluble, carrier device after formation of the bioerodible, water-soluble, carrier device.

USE - The carrier device is used for loading or delivering an active agent or a drug locally or systemically through a mucus membrane to within a mucosally lined body cavity.

ADVANTAGE - The invention eliminates the need to incorporate the active agent into a pre-film polymer mixture.

DESCRIPTION OF DRAWING(S) - The figure illustrates the post-loading method of the invention.

Non-bioadhesive backing layer 1

Bioadhesive layer 2

Composition deposit 3

Dwg. 4/4

L19 ANSWER 8 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2003-730118 [69] WPIDS

CROSS REFERENCE: 1999-263624 [22]; 2003-585340 [55]; 2003-596829 [56];  
 2003-720097 [68]; 2003-730119 [69]; 2003-743977 [70];  
 2004-010082 [01]; 2004-041182 [04]; 2004-068835 [07];  
 2004-339321 [31]; 2004-498809 [47]; 2004-498810 [47];  
 2004-552334 [53]; 2004-552335 [53]; 2004-552336 [53];  
 2004-552531 [53]

DOC. NO. NON-CPI: N2003-583561

DOC. NO. CPI: C2003-200736

TITLE: Propellant free buccal spray composition for providing rapid absorption of active medicaments, comprises preset amount of active compound e.g. aldosterone antagonists and/or anti-obesity drugs, and polar solvent.

DERWENT CLASS: A25 A96 B05 P34

INVENTOR(S): DUGGER, H A

PATENT ASSIGNEE(S): (DUGG-I) DUGGER H A; (NOVA-N) NOVADEL PHARMA INC

COUNTRY COUNT: 105

PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG
US 2003095925	A1 20030522	(200369)*		15
WO 2004019903	A1 20040311	(200419)	EN	

10/606632

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS  
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW  
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK  
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR  
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH  
PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN  
YU ZA ZM ZW  
AU 2003262916 A1 20040319 (200462)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2003095925	A1 CIP of CIP of	WO 1997-US17899 US 2000-537118 US 2002-230084	19971001 20000329 20020829
WO 2004019903	A1	WO 2003-US26855	20030827
AU 2003262916	A1	AU 2003-262916	20030827

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003262916	A1 Based on	WO 2004019903

PRIORITY APPLN. INFO: US 2002-230084 20020829; WO  
1997-US17899 19971001; US  
2000-537118 20000329

AN 2003-730118 [69] WPIDS  
CR 1999-263624 [22]; 2003-585340 [55]; 2003-596829 [56]; 2003-720097 [68];  
2003-730119 [69]; 2003-743977 [70]; 2004-010082 [01]; 2004-041182 [04];  
2004-068835 [07]; 2004-339321 [31]; 2004-498809 [47]; 2004-498810 [47];  
2004-552334 [53]; 2004-552335 [53]; 2004-552336 [53]; 2004-552531 [53]

AB US2003095925 A UPAB: 20040928

NOVELTY - Propellant free buccal spray composition for transmucosal administration comprises:

- (1) an active compound (0.001-60 weight%); and
- (2) polar solvent (30-99 weight%).

The active compound is selected from e.g. aldosterone antagonists, leukotriene receptor antagonists, glucose inhibitors, bone resorption inhibitors, antiinflammatory drugs, anti-obesity drugs and/or cytokines.

DETAILED DESCRIPTION - Propellant free buccal spray composition for transmucosal administration comprises:

- (a) an active compound (0.001-60 weight%); and
- (b) polar solvent (30-99 weight%).

The active compound is selected from cholesterol-lowering agents, aldosterone antagonists, triglycerides-lowering agents, leukotriene receptor antagonists, immunomodulators or immunogens, glucose inhibitors, agents for treating type II diabetes, bone resorption inhibitors, calcium absorption enhancers, insulin sensitizers, insulin enhancing agents, metabolic regulators, glycolipids, glycoproteins, antiinflammatory drugs, anti-obesity drugs, COX and/or LO inhibitors and/or cytokines.

An INDEPENDENT CLAIM is also included for method of administering active compound to a mammal, comprising spraying the composition to the oral mucosa of the mammal.

ACTIVITY - Antiinflammatory; Anorectic; Antidiabetic; Antilipemic;



Osteopathic.

No biological data given.

MECHANISM OF ACTION - Aldosterone-Antagonist; Glucose-Antagonist; Leukotriene-Antagonist; Cyclooxygenase-Inhibitor; Lipoxygenase-Inhibitor.

USE - The propellant free buccal spray composition is used for providing rapid absorption of active medicaments through the oral mucosa and also for providing fast onset of effect.

ADVANTAGE - The composition effectively provides rapid and enhanced transmucosal absorption of active medicaments. There is no chance of first pass metabolism and results in hastened onset of biological effects.  
Dwg.0/1

L19 ANSWER 9 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
ACCESSION NUMBER: 2002-666878 [71] WPIDS  
DOC. NO. CPI: C2002-187190  
TITLE: Preparation of deformable syntactic foams useful as pharmaceutical carriers for the delivery of a compound or a chemical involves mixing a resin, binder and a stabilizer and reacting the mixture with an organic solvent.  
DERWENT CLASS: A96 B05 B07  
INVENTOR(S): ODIDI, A; ODIDI, I  
PATENT ASSIGNEE(S): (ODIDI-I) ODIDI A; (ODIDI-I) ODIDI I  
COUNTRY COUNT: 100  
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2002056861	A2	20020725	(200271)*	EN	47
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW					
AU 2002226223	A1	20020730	(200427)		

#### APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002056861	A2	WO 2002-CA54	20020117
AU 2002226223	A1	AU 2002-226223	20020117

#### FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002226223	A1 Based on	WO 2002056861

PRIORITY APPLN. INFO: US 2001-765783 20010119  
AN 2002-666878 [71] WPIDS  
AB WO 2002056861 A UPAB: 20021105

NOVELTY - Preparation of a deformable syntactic foam comprises (a) mixing together at least one homopolymer resin, at least one binder and at least

one stabilizer to form a blended mixture having a LOD of 1 - 10%, and (b) reacting the blended mixture with at least one organic solvent under high shear conditions at 10 - 25 deg. C until a foam composition deformable to touch is formed.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

- (1) Manufacturing a pharmaceutical carrier comprising:
  - (a) mixing together at least one homopolymer resin, binder, microspheres and stabilizer to form a blended mixture having a LOD of 1 - 10%,
  - (b) reacting the blended mixture with at least one organic solvent under high shear conditions at 10 - 25 deg. C until a foam composition deformable to touch is formed;
  - (c) reducing the size of the deformable syntactic foam to reassemble into a shaped composite;
- (2) A **pharmaceutical composition** comprising a **pharmaceutical** and a **pharmaceutical carrier**; and
- (3) A syntactic foam of elongate threads comprising homopolymer resin, binder, microsphere and a stabilizer.

USE - As a pharmaceutical carrier for the delivery of a compound or a chemical (claimed) including pharmaceuticals. Also useful as carriers, coated or uncoated for chemicals, biological agents, nutraceuticals, growth factors, amino acids, bioactive materials and pharmaceutically active and inactive materials and have pharmaceutical, sanitary, veterinary, agricultural and medical applications.

ADVANTAGE - The foam is deformable and compressible. The foam permits the time release of pharmaceuticals in mammals particularly humans and reduces the frequency of taking a particular medicine. The foam is safe, stable and can be prepared by economical and versatile manufacturing processes.

Dwg.0/9

L19 ANSWER 10 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2003-015683 [01] WPIDS  
 CROSS REFERENCE: 1999-204733 [17]; 2000-170837 [15]; 2001-432562 [46];  
 2001-522427 [57]; 2001-595790 [67]; 2002-082346 [11];  
 2002-215543 [27]; 2002-215909 [27]; 2002-315576 [35];  
 2002-328338 [36]; 2002-462521 [49]; 2002-635742 [68];  
 2002-666828 [71]; 2002-696871 [75]; 2003-198106 [19];  
 2003-238931 [23]; 2003-417948 [39]; 2003-627162 [59];  
 2003-776923 [73]  
 DOC. NO. NON-CPI: N2003-011643  
 DOC. NO. CPI: C2003-003717  
 TITLE: New method for determining and utilizing the circulating  
 blood of a living being over a range of shear rates,  
 useful for e.g. the treatment of peripheral arterial  
 disease.  
 DERWENT CLASS: A96 B07 C07 P31 S05 T01  
 INVENTOR(S): KENSEY, K R  
 PATENT ASSIGNEE(S): (KENS-I) KENSEY K R  
 COUNTRY COUNT: 1  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 2002061835	A1	20020523	(200301)*		40

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2002061835	A1 CIP of	US 1997-919906	19970828
	CIP of	US 1999-439795	19991112
	CIP of	US 2000-501856	20000210
	CIP of	US 2000-628401	20000801
	CIP of	US 2000-727950	20001201
		US 2001-828761	20010409

PRIORITY APPLN. INFO: US 2001-828761 20010409; US  
 1997-919906 19970828; US  
 1999-439795 19991112; US  
 2000-501856 20000210; US  
 2000-628401 20000801; US  
 2000-727950 20001201

AN 2003-015683 [01] WPIDS  
 CR 1999-204733 [17]; 2000-170837 [15]; 2001-432562 [46]; 2001-522427 [57];  
 2001-595790 [67]; 2002-082346 [11]; 2002-215543 [27]; 2002-215909 [27];  
 2002-315576 [35]; 2002-328338 [36]; 2002-462521 [49]; 2002-635742 [68];  
 2002-666828 [71]; 2002-696871 [75]; 2003-198106 [19]; 2003-238931 [23];  
 2003-417948 [39]; 2003-627162 [59]; 2003-776923 [73]

AB US2002061835 A UPAB: 20031112

NOVELTY - Method of distribution and administration of a substance through a bloodstream of an organism, by: (a) monitoring at least one blood flow parameter selected from e.g. circulating blood viscosity, absolute viscosity; (b) administering the substance to the organism through the bloodstream; and (c) distributing at least part of the substance to at least one target within the organism, is new.

DETAILED DESCRIPTION - Method of distribution and administration of a substance through a bloodstream of an organism comprises:

(a) monitoring at least one blood flow parameter selected from circulating blood viscosity, absolute viscosity, effective viscosity, low shear viscosity, high shear viscosity, shear rate of circulating blood, work of heart, contractility of heart, thrombogenicity, platelet aggregation, lubricity, red blood cell deformability, thixotropy, yield stress, coagulability, coagulation time, agglutination, clot retraction, clot lysis time, sedimentation rate and prothrombin rate;

(b) administering the substance to the organism so that an amount of the substance enters the bloodstream; and

(c) distributing at least part of the substance to at least one target within the organism, wherein a distribution parameter of the distribution is adjusted by altering at least one blood flow parameter.

INDEPENDENT CLAIMS are also included for the following:

(1) a method for distributing a substance through the circulatory system to at least one target in an organism, involving the improvement of at least one blood flow parameter selected from circulating blood viscosity, absolute viscosity, effective viscosity, low shear viscosity, high shear viscosity, shear rate of circulating blood, work of heart, contractility of heart, thrombogenicity, platelet aggregation, lubricity, red blood cell deformability, thixotropy, yield stress, coagulability, coagulation time, agglutination, clot retraction, clot lysis time, sedimentation rate and prothrombin rate, is monitored and altered to

control distribution; and

(2) a composition for administration to an organism with a circulatory system, the **composition** comprising: (a) a **pharmaceutically** active agent; and (b) a distribution agent effective to increase or decrease distribution of the pharmaceutically active agent through the system, by increasing at least one blood flow parameter selected from circulating blood viscosity, absolute viscosity, effective viscosity, low shear viscosity, high shear viscosity, shear rate of circulating blood, work of heart, contractility of heart, thrombogenicity, platelet aggregation, lubricity, red blood cell deformability, thixotropy, yield stress, coagulability, coagulation time, agglutination, clot retraction, clot lysis time, sedimentation rate and prothrombin rate, provided that the distribution agent is not a diluent.

ACTIVITY - Circulatory-Gen.

No suitable biological data given.

MECHANISM OF ACTION - None given in source material

USE - The methods of the invention are for determining and using the viscosity of circulating blood for diagnostics and treatment, in particular for detecting/reducing blood viscosity, work of the heart, for detecting/reducing the surface tension of blood, for detecting/reducing plasma viscosity, explaining/countering endothelial cell dysfunction, providing high and low blood vessel wall shear stress data, red blood cell deformability, lubricity of blood, and for treating e.g. peripheral arterial diseases.

ADVANTAGE - The invention enables the viscosity of the blood of a living being in vivo to be obtained over a range of shears and in a short time span.

Dwg.0/20

L19 ANSWER 11 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2001-475649 [51] WPIDS  
 CROSS REFERENCE: 2000-587124 [55]; 2001-091750 [10]; 2001-244222 [25];  
 2002-508310 [54]; 2002-556413 [59]; 2003-615989 [58];  
 2003-678184 [64]; 2004-141477 [14]; 2004-178820 [17];  
 2004-190101 [18]  
 DOC. NO. CPI: C2001-142565  
 TITLE: Solid composition for delivery of active agents e.g.  
 glyburide comprises carrier optionally containing a  
 substrate having an encapsulation coat containing  
 hydrophilic surfactants e.g. polyoxyethylene alkylethers.  
 DERWENT CLASS: A96 B05 B07  
 INVENTOR(S): CHEN, F; PATEL, M V  
 PATENT ASSIGNEE(S): (LIPO-N) LIPOCINE INC; (CHEN-I) CHEN F; (PATE-I) PATEL M  
 V  
 COUNTRY COUNT: 95  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001037808	A1	20010531	(200151)*	EN	106
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ					
NL OA PT SD SE SL SZ TR TZ UG ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM					
DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC					
LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE					
SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW					

10/606632

US 6248363 B1 20010619 (200151)  
AU 2001017981 A 20010604 (200153)  
EP 1233756 A1 20020828 (200264) EN  
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT  
RO SE SI TR  
US 2003064097 A1 20030403 (200325)  
US 6569463 B2 20030527 (200337)  
JP 2003517470 W 20030527 (200344) 118  
US 2003215496 A1 20031120 (200377)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001037808	A1	WO 2000-US32255	20001122
US 6248363	B1	US 1999-447690	19991123
AU 2001017981	A	AU 2001-17981	20001122
EP 1233756	A1	EP 2000-980761	20001122
		WO 2000-US32255	20001122
US 2003064097	A1 Div ex	US 1999-447690	19991123
		US 2001-800593	20010306
US 6569463	B2 Div ex	US 1999-447690	19991123
		US 2001-800593	20010306
JP 2003517470	W	WO 2000-US32255	20001122
		JP 2001-539423	20001122
US 2003215496	A1 Div ex	US 1999-447690	19991123
	Cont of	US 2001-800593	20010306
		US 2003-428341	20030501

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2001017981	A Based on	WO 2001037808
EP 1233756	A1 Based on	WO 2001037808
US 2003064097	A1 Div ex	US 6248363
US 6569463	B2 Div ex	US 6248363
JP 2003517470	W Based on	WO 2001037808
US 2003215496	A1 Div ex	US 6248363
	Cont of	US 6569463

PRIORITY APPLN. INFO: US 1999-447690 19991123; US  
2001-800593 20010306; US  
2003-428341 20030501

AN 2001-475649 [51] WPIDS  
CR 2000-587124 [55]; 2001-091750 [10]; 2001-244222 [25]; 2002-508310 [54];  
2002-556413 [59]; 2003-615989 [58]; 2003-678184 [64]; 2004-141477 [14];  
2004-178820 [17]; 2004-190101 [18]

AB WO 200137808 A UPAB: 20040426

NOVELTY - Composition for improved delivery of active agent comprising a solid carrier optionally containing a substrate having an encapsulation coat, where the solid carrier or encapsulation coat contains at least one active agent (I) and one hydrophilic surfactant (II), is new.

ADVANTAGE - The composition is used to deliver a wide variety of active agents having improved absorption and/or bioavailability. It provides coated substrate materials without the need for binders. Prior

Searcher : Shears 571-272-2528

10/606632

art solid carriers are limited to a few specific drugs due to difficulties in formulating appropriate **drug/exicipient compositions** to effectively coat the active agent onto a carrier particle. Most of prior art solid dosage forms of hydrophilic active agents exhibit poor or no absorption of the active agent. Non-solid formulations of the same are chemically instable, leak and have capsule shell incompatibility. Conventional solid dosage forms of hydrophobic active agents often exhibit slow and incomplete dissolution and subsequent absorption. They often show a high propensity for biovariability and food interactions of the active agent, resulting in restrictive compliance/labeling requirements. A comparative dissolution study was performed on 3 forms of glyburide (Ia) namely coated beads of (Ia), commercially available (Ia) and pure (Ia) bulk. 5 mg Of each form was usd for triplication dissolution runs in 500 ml of isotonic pH 7.4 phosphate buffer. The dissolutiom medium was sampled at 15, 30, 45, 60, 120 and 180 minutes. The samples were filtered and the filtrates diluted for (Ia)-specific HPLC assay. The (Ia)-coated beads showed a superior dissolution profile in the rate, extent and variability of (Ia) dissolved/released into the medium.

Dwg.0/3

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